

WEST Search History

DATE: Thursday, July 19, 2007

Hide? **Set Name** **Query**

Hit Count

DB=PGPB,USPT; PLUR=YES; OP=OR

| | | | |
|--------------------------|----|---|----|
| <input type="checkbox"/> | L3 | L2 not l1 | 43 |
| <input type="checkbox"/> | L2 | bile with (dextrin or \$dextrin or dextran) with (solubiliz\$ or dissolv\$) | 48 |
| <input type="checkbox"/> | L1 | bile with (dextrin or \$dextrin or dextran) with soluble | 18 |

END OF SEARCH HISTORY

Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID:SSSPTA1639MLS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

| | | | |
|--------------|----|------------|---|
| NEWS | 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | MAR 15 | WPIDS/WPIX enhanced with new FRAGHITSTR display format |
| NEWS | 3 | MAR 16 | CASREACT coverage extended |
| NEWS | 4 | MAR 20 | MARPAT now updated daily |
| NEWS | 5 | MAR 22 | LWPI reloaded |
| NEWS | 6 | MAR 30 | RDISCLOSURE reloaded with enhancements |
| NEWS | 7 | APR 02 | JICST-EPLUS removed from database clusters and STN |
| NEWS | 8 | APR 30 | GENBANK reloaded and enhanced with Genome Project ID field |
| NEWS | 9 | APR 30 | CHEMCATS enhanced with 1.2 million new records |
| NEWS | 10 | APR 30 | CA/CAPplus enhanced with 1870-1889 U.S. patent records |
| NEWS | 11 | APR 30 | INPADOC replaced by INPADOCDB on STN |
| NEWS | 12 | MAY 01 | New CAS web site launched |
| NEWS | 13 | MAY 08 | CA/CAPplus Indian patent publication number format defined |
| NEWS | 14 | MAY 14 | RDISCLOSURE on STN Easy enhanced with new search and display fields |
| NEWS | 15 | MAY 21 | BIOSIS reloaded and enhanced with archival data |
| NEWS | 16 | MAY 21 | TOXCENTER enhanced with BIOSIS reload |
| NEWS | 17 | MAY 21 | CA/CAPplus enhanced with additional kind codes for German patents |
| NEWS | 18 | MAY 22 | CA/CAPplus enhanced with IPC reclassification in Japanese patents |
| NEWS | 19 | JUN 27 | CA/CAPplus enhanced with pre-1967 CAS Registry Numbers |
| NEWS | 20 | JUN 29 | STN Viewer now available |
| NEWS | 21 | JUN 29 | STN Express, Version 8.2, now available |
| NEWS | 22 | JUL 02 | LEMBASE coverage updated |
| NEWS | 23 | JUL 02 | LMEDLINE coverage updated |
| NEWS | 24 | JUL 02 | SCISEARCH enhanced with complete author names |
| NEWS | 25 | JUL 02 | CHEMCATS accession numbers revised |
| NEWS | 26 | JUL 02 | CA/CAPplus enhanced with utility model patents from China |
| NEWS | 27 | JUL 16 | CAPplus enhanced with French and German abstracts |
| NEWS | 28 | JUL 18 | CA/CAPplus patent coverage enhanced |
| NEWS EXPRESS | 29 | JUNE 2007: | CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007. |
| NEWS HOURS | | | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | | | Welcome Banner and News Items |
| NEWS IPC8 | | | For general information regarding STN implementation of IPC 8 |

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may

result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:00:29 ON 19 JUL 2007

=> fil medline biosis caplus scisearch embase wpids
COST IN U.S. DOLLARS

| | SINCE FILE ENTRY | TOTAL SESSION |
|---------------------|---------------------|------------------|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'MEDLINE' ENTERED AT 10:01:03 ON 19 JUL 2007

FILE 'BIOSIS' ENTERED AT 10:01:03 ON 19 JUL 2007
Copyright (c) 2007 The Thomson Corporation

FILE 'CAPLUS' ENTERED AT 10:01:03 ON 19 JUL 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'SCISEARCH' ENTERED AT 10:01:03 ON 19 JUL 2007
Copyright (c) 2007 The Thomson Corporation

FILE 'EMBASE' ENTERED AT 10:01:03 ON 19 JUL 2007
Copyright (c) 2007 Elsevier B.V. All rights reserved.

FILE 'WPIDS' ENTERED AT 10:01:03 ON 19 JUL 2007
COPYRIGHT (C) 2007 THE THOMSON CORPORATION

=> bile (s) (dextrin or dextrin or dextran) (s) (soluble or solubiliz or dissolv)
UNMATCHED RIGHT PARENTHESIS ')' '
The number of right parentheses in a query must be equal to the
number of left parentheses.

=> bile (s) (dextrin or ?dextrin or dextran) (s) (soluble or solubiliz? or dissolv?)
L1 48 BILE (S) (DEXTRIN OR ?DEXTRIN OR DEXTRAN) (S) (SOLUBLE OR SOLUBI
LIZ? OR DISSOLV?)

=> dup rem l1
PROCESSING COMPLETED FOR L1
L2 35 DUP REM L1 (13 DUPLICATES REMOVED)

=> e yoo seo?/au
E1 15 YOO SEO HONG/AU
E2 1 YOO SEO KOO/AU
E3 0 --> YOO SEO?/AU
E4 1 YOO SEOG/AU
E5 2 YOO SEOG CHUL/AU
E6 2 YOO SEOK BEOM/AU
E7 10 YOO SEOK BIN/AU
E8 7 YOO SEOK BONG/AU
E9 2 YOO SEOK C/AU
E10 1 YOO SEOK CHEON/AU
E11 3 YOO SEOK DONG/AU
E12 1 YOO SEOK HYEON/AU

=> e1
L3 15 "YOO SEO HONG"/AU

=> dup rem l3
PROCESSING COMPLETED FOR L3
L4 15 DUP REM L3 (0 DUPLICATES REMOVED)

=> 12 not 13
L5 35 L2 NOT L3

=> d ibib abs 12 1-35

L2 ANSWER 1 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2007-440295 [42] WPIDS
CROSS REFERENCE: 2006-332021
DOC. NO. CPI: C2007-160109 [42]
TITLE: Ameliorating or eliminating adverse gastrointestinal effects of composition e.g. gastrointestinal necrosis, gastrointestinal apoptosis involves administering the composition and aqueous solution comprising soluble bile acid and carbohydrate
DERWENT CLASS: A96; B05
INVENTOR: YOO S K
PATENT ASSIGNEE: (YOOS-I) YOO S K
COUNTRY COUNT: 111

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---------------|------|----------|-----------|----|-------|----------|
| WO 2007044062 | A1 | 20070419 | (200742)* | EN | 58[3] | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|------|----------------|----------|
| WO 2007044062 | A1 | WO 2006-US8925 | 20060310 |

PRIORITY APPLN. INFO: US 2005-251137 20051014
AN 2007-440295 [42] WPIDS
CR 2006-332021
AB WO 2007044062 A1 UPAB: 20070703

NOVELTY - Ameliorating or eliminating adverse gastrointestinal effects of a composition involves administering the composition and an aqueous solution free of precipitates or particles. The aqueous solution comprises a first material selected from bile acid, its salt and aqueous soluble derivative, and bile acid conjugated with an amine by an amide linkage; a carbohydrate selected from an aqueous soluble starch conversion product or aqueous soluble non-starch polysaccharide; and water. The first material and carbohydrate remain in solution for all pH values obtainable in an aqueous system.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for an aqueous solution free of precipitates or particles comprising the first material; the carbohydrate; a pharmaceutical compound has at least one adverse gastrointestinal effect after administration; and water.

ACTIVITY - Gastro-intestinal-Gen.; Antiulcer; Cytostatic; Antiinflammatory; Hemostatic.

Gastric hemorrhagic lesions were induced by intragastric administration of acidic ethanol A (1 ml) to rats. Aqueous solutions of bile acid (containing ursodeoxycholic acid (UDCA) (15 g) dissolved in sodium hydroxide (400 ml), maltodextrin (450 g), methyl para-hydroxybenzoate (0.95 g), sodium hydrogensulfite (0.3 g) and water (to 1 l)) or saline were given intragastrically 30 minutes prior to administration of acidic ethanol to each rat. A rat (B) was administered aqueous solutions of the bile acid only. A rat (F) was administered acidic ethanol A only. A rat (I) was control and was administered saline only. The animals were killed 60 minutes after the administration of ethanol. The stomach including duodenum of each animal was then removed. The area of gastric glandular mucosal lesion was

measured. An aqueous solution of bile acid did not cause any gastro duodenal damage even at the high concentration of UDCA (15 g/l of solubilized UDCA). Acidic ethanol A induced severe hemorrhage on the entire stomach, and severe edema and vacuole on stomach and duodenum. The aqueous solution of solubilized UDCA completely protected gastro intestine from the gastro hemorrhage and duodenal edema and vacuole by the acidic alcohol. An aqueous solution containing lower concentration than solubilized UDCA of stock solution also completely protected gastro intestine from the gastro hemorrhage and duodenal edema and vacuole by absolute acidic alcohol.

MECHANISM OF ACTION - None Given.

USE - For ameliorating or eliminating adverse gastrointestinal effects e.g. gastroduodenal mucosal cell death, gastrointestinal necrosis, gastrointestinal apoptosis, gastroduodenal mucosal lesion, gastroduodenal mucosal erosion, gastroduodenal ulcer, gastrointestinal cancer, gastrointestinal bleeding, epigastralgia, gastritis, gastrointestinal redness and gastrointestinal edema on gastro duodenum (all claimed).

ADVANTAGE - The bile composition blocks toxic effect mediated by an oxidative process.

L2 ANSWER 2 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
 ACCESSION NUMBER: 2007-411909 [39] WPIDS
 DOC. NO. CPI: C2007-149187 [39]
 TITLE: Pharmaceutical composition for treatment of, e.g. cardiovascular disease including myocardial infarction, includes 3-biphenyl-4-yl-(2S)-((4'-trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid, and water soluble polymer
 DERWENT CLASS: A96; B05
 INVENTOR: BENJAMIN E J
 PATENT ASSIGNEE: (BENJ-I) BENJAMIN E J; (TRAN-N) TRANSTECH PHARMA INC
 COUNTRY COUNT: 115

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|-------|----------|
| US 20070082952 | A1 | 20070412 | (200739)* | EN | 11[0] | |
| WO 2007044574 | A2 | 20070419 | (200739) | EN | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|------|-------------|--------------------------|
| US 20070082952 | A1 | Provisional | US 2005-724010P 20051006 |
| US 20070082952 | A1 | Provisional | US 2006-756287P 20060105 |
| US 20070082952 | A1 | Provisional | US 2006-758740P 20060113 |
| US 20070082952 | A1 | | US 2006-544362 20061006 |
| WO 2007044574 | A2 | | WO 2006-US39243 20061006 |

PRIORITY APPLN. INFO: US 2006-544362 20061006
 US 2005-724010P 20051006
 US 2006-756287P 20060105
 US 2006-758740P 20060113

AN 2007-411909 [39] WPIDS
 AB US 20070082952 A1 UPAB: 20070620

NOVELTY - A pharmaceutical composition comprises 3-biphenyl-4-yl-(2S)-((4'-trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid, and a water soluble polymer.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for:

(1) a composition comprising 3-biphenyl-4-yl-(2S)-((4'-trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid and a surfactant;

(2) preparing a pharmaceutical composition comprising mixing 3-biphenyl-4-yl-(2S)-((4'-trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid, a water soluble polymer, water, and optionally other excipients, granulating the mixture until a uniform granulation is achieved, drying the resulting granulation, milling the dried granulations to a desired particle size, and compressing the milled granulation into a desired physical form;

(3) synthesizing 3-biphenyl-4-yl-(2S)-((4'-trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid comprising adding 4-carboxybenzene boronic acid to 4-bromobenzotrifluoride and Pd(PPh₃)₄ to generate 4'-trifluoromethyl-biphenyl-4-carboxylic acid, adding thionyl chloride to L-4,4'-biphenyl alanine in methanol to generate (2S)-amino-3-biphenyl-4-yl-propionic acid methyl ester, adding thionyl chloride to 4'-trifluoromethyl-biphenyl-4-carboxylic acid to generate 4'-trifluoromethyl-biphenyl-4-carboxylic acid chloride, reacting (2S)-amino-3-biphenyl-4-yl-propionic acid methyl ester with 4'-trifluoromethyl-biphenyl-4-carboxylic acid chloride to generate 3-biphenyl-4-yl-(2S)-((4'-trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid methyl ester, and hydrolyzing 3-biphenyl-4-yl-(2S)-((4'-trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid methyl ester; and

(4) inhibition of the normal biological function of factor IX comprising ingesting the pharmaceutical composition.

ACTIVITY - Cardiovascular-Gen.; Cardiant; Antiarrhythmic; Vasotropic; Cerebroprotective; Thrombolytic; Antiinflammatory; Dermatological; Immunosuppressive.

MECHANISM OF ACTION - Factor IX antagonist.

USE - Used for treatment of a factor IX-mediated disease, e.g. cardiovascular disease including myocardial infarction, arrhythmia, or aneurysm; stroke; deep vein thrombosis associated with surgical procedures, long periods of confinement, acquired or inherited pro-coagulant states including anti-phospholipid antibody syndrome, protein C deficiency and protein S deficiency, or acute and chronic inflammation including recurrent miscarriage or systemic lupus erythematosus (SLE); clotting associated with the treatment of kidney disease by hemodialysis, and/or venous hemofiltration (claimed).

ADVANTAGE - The composition has an increased dissolution rate, enhancing the bioavailability of 3-biphenyl-4-yl-(2S)-((4'-trifluoromethyl-biphenyl-4-carbonyl)-amino)-propionic acid, particularly when dosed orally. It exhibits improved flow and compression characteristics that simplify scale-up, and has improved density, flow, shear, and/or particle size.

L2 ANSWER 3 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2007-413633 [40] WPIDS
DOC. NO. CPI: C2007-149931 [40]
TITLE: Method for preparing bile acid adsorbent of beta cyclo dextrin polymer
DERWENT CLASS: A11; A96; B04
INVENTOR: DENG J; FENG Y; GUO J; MENG S; ZHANG W
PATENT ASSIGNEE: (UYTI-N) UNIV TIANJIN
COUNTRY COUNT: 1

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|------------|------|----------|-----------|----|-----|----------|
| CN 1891303 | A | 20070110 | (200740)* | ZH | [0] | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|-----------|------|-------------|------|
|-----------|------|-------------|------|

CN 1891303 A

CN 2006-10013725 20060517

PRIORITY APPLN. INFO: CN 2006-10013725 20060517

AN 2007-413633 [40] WPIDS

AB CN 1891303 A UPAB: 20070625

NOVELTY - This invention discloses a preparation method for bile acid sorbent of beta-ring dextrin polymer including: mixing beta-cyclo dextrin with crosslinking agent epoxy chloropropane, 1, 2 diglycol glyceryl ether or 1, 2-butylene-glycol twice-shrunk glyceryl ether, then dropping 37% thick HCL, 98% thick HNO3, 98% H2SO4 or perchloric acid in it to be mixed to get the bile acid sorbent of beta-ring dextrin polymer, or firstly dissolving the epoxy chloropropane, the 1,2-glycop twice-shrunk glyceryl ether or 1,2-butylene-glycol twi-shrunk glyceryl ether in tetrachloroethylene then dissolving the beta-ring dextrin solution in the tetrachloroethylene solution, then adding 37% HCL, 98% H2SO4 or perchloric acid in it to be reacted to get the sorbent.

L2 ANSWER 4 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
DUPLICATE 1

ACCESSION NUMBER: 2006-423646 [43] WPIDS

DOC. NO. CPI: C2006-133672 [43]

TITLE: Dried form of a primary aqueous solubilized bile acid formulation, useful for treating e.g. chronic gastritis, gall stones and hyperlipidemia, comprises a first material (e.g. bile acid), and an aqueous soluble starch conversion product

DERWENT CLASS: A96; B04; B07

INVENTOR: YOO S H

PATENT ASSIGNEE: (YOOS-I) YOO S H

COUNTRY COUNT: 106

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---------------|------|----------|-----------|----|----|----------|
| WO 2006057637 | A1 | 20060601 | (200643)* | EN | 80 | [12] |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|------|-----------------|----------|
| WO 2006057637 | A1 | WO 2004-US39507 | 20041124 |

PRIORITY APPLN. INFO: WO 2004-US39507 20041124

AN 2006-423646 [43] WPIDS

AB WO 2006057637 A1 UPAB: 20060706

NOVELTY - A dried form of a primary aqueous solubilized bile acid formulation (I) comprises:

(a) a first material (A) selected from a bile acid, aqueous soluble derivative of a bile acid, bile acid salt and/or a bile acid conjugated with an amine by an amide linkage; and

(b) an aqueous soluble starch conversion product (B),

where (A) and (B) both remain in solution for all pH values of the solution within a selected range of pH values.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

(i) preparation of (I);

(ii) an (I) comprising a first material selected from (A), a second material selected from (B), and a third material (C) selected from a resistant maltodextrin and an aqueous soluble non-starch polysaccharide, where the first, second, and third materials remain in solution for all pH values of the solution within a selected range of pH values;

(iii) an (I) comprising a first material selected from (A), a second material selected from (B) and/or (C), and a third material (D) selected from aqueous soluble ginseng extract and/or aqueous soluble red ginseng extract, where the first, second, and third materials remain in solution for all pH values of the solution within a selected range of pH values.

ACTIVITY - Gastrointestinal-Gen.; Antiinflammatory; Antiulcer; Hepatotropic; Litholytic; Antilipemic; Virucide; Antibacterial; Fungicide; Immunomodulator.

MECHANISM OF ACTION - Bile acids are pepsin inhibitors and nitric oxide synthase induction inhibitors.

USE - (I) is useful for treating gastrointestinal disorders (chronic gastritis, reflux gastritis and peptic ulcer disease), liver diseases (alcohol-induced liver diseases and non-alcohol-induced liver diseases including primary biliary cirrhosis, acute and chronic hepatitis, primary sclerosing cholangitis, chronic active hepatitis, and excess accumulation of fat in the liver), gall stones, hyperlipidemia, hypercholesterolemia, viral (hepatitis C virus infection; influenza A, influenza C, parainfluenza 1, sendai, rubella and pseudorabies virus), bacterial (especially Helicobacter pylori infection) and fungal diseases, chronic inflammatory diseases including bronchitis, chronic pharyngitis and chronic tonsillitis. Bile acids such as 3alpha-7beta-dihydroxy-5beta-cholanic acid have antioxidant property, act as immunomodulating agents and have membrane stabilizing effects.

ADVANTAGE - (I) remains in solution without forming a precipitate over a range of all pH values obtainable in an aqueous system. (I) may be stored or administered in a dry or solid form. (I) has improved bioavailability, plasma bioavailability and absorbability of the bile acid. (I) also provides improved bioavailability, plasma bioavailability and absorbability of one or more pharmaceutical compounds.

The bioavailability of (I) was tested using biological assays. The results showed that the observed plasma concentration of 3alpha-7beta-dihydroxy-5 beta-cholanic acid was 7.144 micrograms/ml at 20 minutes and 15 micrograms/ml at 60 minutes.

L2 ANSWER 5 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2006-231963 [24] WPIDS
DOC. NO. CPI: C2006-076135 [24]
TITLE: Use of berberine compound to treat or prevent
hyperlipidemia and one or more symptoms of a
cardiovascular disease or conditions caused by
hyperlipidemia e.g. atherosclerosis, coronary artery
disease, myocardial infarction and stroke
DERWENT CLASS: B02
INVENTOR: JIANG J; KONG W; SONG D; ZHAO L; LIU J; PAN H; WEI J
PATENT ASSIGNEE: (BIOT-N) BIOTECH INST CHINESE ACAD MEDICAL SCI; (MEDI-N)
INST MEDICINAL BIOTECHNOLOGY CHINESE ACA; (JIAN-I) JIANG
J; (KONG-I) KONG W; (SONG-I) SONG D; (WEIJ-I) WEI J;
(ZHAO-I) ZHAO L
COUNTRY COUNT: 111
PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|---------|----------|
| WO 2006029577 | A1 | 20060323 | (200624)* | EN | 106[14] | |
| CN 1759834 | A | 20060419 | (200661) | ZH | | |
| US 20060223838 | A1 | 20061005 | (200666) | EN | | |
| EP 1796666 | A1 | 20070620 | (200741) | EN | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|-----------|------|-------------|------|
|-----------|------|-------------|------|

WO 2006029577 A1
CN 1759834 A
US 20060223838 A1
EP 1796666 A1
EP 1796666 A1

WO 2005-CN1489 20050919
CN 2004-10095066 20041123
US 2005-229339 20050916
EP 2005-791957 20050919
WO 2005-CN1489 20050919

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---|---------------------------------|-----------------|
| EP 1796666 | A1 Based on | WO 2006029577 A |
| PRIORITY APPLN. INFO: CN 2004-10095066 20041123 | | |
| CN 2004-10078150 20040917 | | |
| AN | 2006-231963 [24] WPIDS | |
| AB | WO 2006029577 A1 UPAB: 20060410 | |

NOVELTY - Prevention or treatment of hyperlipidemia or one or more symptoms of a cardiovascular disease or condition caused by hyperlipidemia in a mammal comprises administration of a berberine compound or berberine related or derivative compound (I) or its salt, isomer, enantiomer, solvate, hydrate, polymorph or prodrug.

DETAILED DESCRIPTION - Prevention or treatment of hyperlipidemia or one or more symptoms of a cardiovascular disease or condition caused by hyperlipidemia in a mammal comprises administration of a berberine compound or berberine related or derivative compound of formula (I) or its salt, isomer, enantiomer, solvate, hydrate, polymorph or prodrug.

R1-R4, R8-R13 = H, halo, OH, alkyl, alkoxy, nitro, amino, CF3, cycloalkyl, (cycloalkyl)alkyl, alkanoyl, alkanoyloxy, aryl, aroyl, aralkyl, nitrile, dialkylamino, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, (di)alkylaminoalkyl, haloalkyl, carboxyalkyl, alkoxyalkyl, carboxy, alkanoylamino, carbamoyl, carbamyl, carbonylamino, alkylsulfonamino or heterocyclo groups.

INDEPENDENT CLAIMS are also included for:

(1) a method of controlling hyperlipidemia in a mammal to reduce or prevent cardiovascular disease comprising administering (I);

(2) a composition for preventing or alleviating hyperlipidemia in a mammal comprising (I);

(3) a composition (A) for treating or preventing hyperlipidemia in a mammal comprising (I) and a second anti-hyperlipidemic agent or other adjunctive therapeutic agents useful in the treatment of a cardiovascular disease; and

(4) a method of modulating liver low-density protein receptor (LDLR) expression, modulating extracellular signal-regulated kinase (ERK) activation, lowering cholesterol or increasing LDLR stability or expression in a mammalian cell, tissue, organ or an individual comprising administering (I).

ACTIVITY - Antilipemic; Cardiovascular-Gen; Analgesic; Antiarteriosclerotic; Cardiant; Vasotropic; Antianginal; Cerebroprotective; Ophthalmological; Auditory.

The ability of (I) to treat hyperlipidemia was assessed using human patients. The results showed that berberine did not change kidney functions, but substantially improved liver function-reducing levels of alanine aminotransaminase, aspartate aminotransaminase and gamma glutamyl transpeptidase by approximately 48%, 36% and 41% respectively.

MECHANISM OF ACTION - Liver low-density protein receptor expression modulator; Extracellular signal-regulated kinase (ERK) activation modulator.

USE - (I) Is useful to prevent or treat hyperlipidemia and one or more symptoms of a cardiovascular disease (shortness of breath, chest pain, leg pain, tiredness, confusion, vision changes, blood in urine, nosebleeds, irregular heartbeat, loss of balance or coordination, weakness and/or vertigo) or condition caused by hyperlipidemia such as

atherosclerosis, coronary artery disease, angina pectoris, carotid artery disease, stroke, cerebral arteriosclerosis, myocardial infarction, cerebral infarction, restenosis following balloon angioplasty, high blood pressure, intermittent claudication, dyslipidemia post-prandial lipidemia or xanthoma in a mammal (mammalian cell or cell culture, mammalian tissue or tissue explant, mammalian organ or organ explant or mammalian individual), where the hyperlipidemia is associated with primary or secondary hyperlipidemia; familial hyperchylomicronemia, familial hypercholesterolemia, familial combined hyperlipidemia, familial dysbetalipoproteinemia, familial hypertriglyceridemia, familial defective apolipoprotein B-100, diabetes mellitus, hypothyroidism, uremia, nephrotic syndrome, acromegaly, obstructive liver disease, dysproteinemia; prior or current use of oral contraceptives, glucocorticoids or antihypertensive; or adverse dietary habits (claimed).

ADVANTAGE - (A) Effectively treats and prevents hyperlipidemia or elevated cholesterol. (I) With the secondary or adjunctive therapeutic agent yields improved therapeutic or prophylactic results.

L2 ANSWER 6 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
 ACCESSION NUMBER: 2006-659362 [68] WPIDS
 CROSS REFERENCE: 2005-496434; 2006-271253
 DOC. NO. CPI: C2006-201711 [68]
 DOC. NO. NON-CPI: N2006-528438 [68]
 TITLE: Method of administration of glucose-regulating peptide useful for treating metabolic disease e.g. diabetes mellitus, involves intranasal administration of transmucosal glucose-regulating formulation comprising exendin-4
 DERWENT CLASS: A96; B04; P32
 INVENTOR: COSTANTINO H R; LEONARD A K; QUAY S C
 PATENT ASSIGNEE: (NAST-N) NASTECH PHARM CO INC
 COUNTRY COUNT: 1

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|-------|----------|
| US 20060210614 | A1 | 20060921 | (200668)* | EN | 55[1] | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|----------------|-----------------|----------|
| US 20060210614 | A1 Provisional | US 2003-532337P | 20031226 |
| US 20060210614 | A1 CIP of | US 2004-991597 | 20041118 |
| US 20060210614 | A1 Cont of | US 2005-293715 | 20051202 |
| US 20060210614 | A1 | US 2006-418982 | 20060504 |

PRIORITY APPLN. INFO: US 2006-418982 20060504
 US 2003-532337P 20031226
 US 2004-991597 20041118
 US 2005-293715 20051202

AN 2006-659362 [68] WPIDS
 CR 2005-496434; 2006-271253
 AB US 20060210614 A1 UPAB: 20061023

NOVELTY - A method of administration of glucose-regulating peptide involves intranasal administration of a transmucosal glucose-regulating formulation (F1) comprising exendin-4.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

(1) treatment of metabolic disease involves administering intranasally delivery of an exenatide formulation (F2), comprising an aqueous mixture of exendin (preferably exendin-4), solubilizing agent,

chelating agent, and surface active agent;and

(2) a pharmaceutical formulation (F3) for intranasal administration of a glucose-regulating peptide formulation to a mammal, comprising exendin-4, and delivery enhancer selected from chelator, solubilizer and surfactant.

ACTIVITY - Metabolic; Antidiabetic; Antilipemic; Anorectic;

MECHANISM OF ACTION - None given.

USE - For administration of glucose-regulating peptide for treating metabolic disease (claimed) including diabetes mellitus, hyperglycemia, dyslipidemia, obesity, to induce satiety in an individual and to promote weight loss.

ADVANTAGE - The glucose-regulating peptide in the transmucosal glucose-regulating peptide formulation has bioavailability of at least 10% when administered intranasally to a mammal. The time to maximal concentration in circulation of the animal, T_{max}, is less than 45 (preferably 30) minutes. The exendin-4 formulation has a viscosity of 1.5 - 10 cps. The bioavailability of exendin is at least 1 (preferably 5, especially 10)% relative to a delivery by subcutaneous injection.

L2 ANSWER 7 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2006-038731 [04] WPIDS
DOC. NO. CPI: C2006-014020 [04]
TITLE: New formulation comprising a mixture of parathyroid hormone (PTH) and an enhancer, useful for delivering PTH across a mucosal cellular layer and for treating osteoporosis or osteopenia
DERWENT CLASS: A96; B04; P34
INVENTOR: BRANDT G; COSTANTINO H R; KLEPPE M S; KWOK C S; LI C; LI C Y; QUAY S C; COSTANTINO H; KLEPPE M; QUAY S
PATENT ASSIGNEE: (NAST-N) NASTECH PHARM CO INC
COUNTRY COUNT: 110

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|-------|----------|
| WO 2005115441 | A2 | 20051208 | (200604)* | EN | 87[0] | |
| US 20050276843 | A1 | 20051215 | (200604) | EN | | |
| US 20060052305 | A1 | 20060309 | (200618) | EN | | |
| US 20060052306 | A1 | 20060309 | (200618) | EN | | |
| US 20060127320 | A1 | 20060615 | (200640) | EN | | |
| US 20060189533 | A1 | 20060824 | (200656) | EN | | |
| NO 2006005673 | A | 20070124 | (200714) | NO | | |
| EP 1750756 | A2 | 20070214 | (200715) | EN | | |
| AU 2005247369 | A1 | 20051208 | (200731) | EN | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|----------------|-----------------|----------|
| WO 2005115441 | A2 | WO 2005-US16530 | 20050510 |
| US 20050276843 | A1 Provisional | US 2004-570113P | 20040510 |
| US 20060052305 | A1 Provisional | US 2004-570113P | 20040510 |
| US 20060052306 | A1 Provisional | US 2004-570113P | 20040510 |
| US 20060127320 | A1 Provisional | US 2004-570113P | 20040510 |
| US 20060189533 | A1 Provisional | US 2004-570113P | 20040510 |
| EP 1750756 | A2 | EP 2005-780065 | 20050510 |
| US 20050276843 | A1 | US 2005-126996 | 20050510 |
| US 20060052305 | A1 CIP of | US 2005-126996 | 20050510 |
| US 20060052306 | A1 CIP of | US 2005-126996 | 20050510 |
| US 20060127320 | A1 CIP of | US 2005-126996 | 20050510 |
| US 20060189533 | A1 CIP of | US 2005-126996 | 20050510 |
| NO 2006005673 | A | WO 2005-US16530 | 20050510 |

EP 1750756 A2
US 20060052305 A1
US 20060189533 A1 CIP of
US 20060052306 A1
US 20060189533 A1 CIP of
US 20060127320 A1
US 20060189533 A1 CIP of
US 20060189533 A1
NO 2006005673 A
AU 2005247369 A1

WO 2005-US16530 20050510
US 2005-246406 20051006
US 2005-246406 20051006
US 2005-246450 20051006
US 2005-246450 20051006
US 2006-347551 20060203
US 2006-347554 20060203
US 2006-390940 20060327
NO 2006-5673 20061208
AU 2005-247369 20050510

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---------------|-------------|-----------------|
| EP 1750756 | A2 Based on | WO 2005115441 A |
| AU 2005247369 | A1 Based on | WO 2005115441 A |

PRIORITY APPLN. INFO: US 2004-570113P 20040510
US 2005-126996 20050510
US 2005-246406 20051006
US 2005-246450 20051006
US 2006-347551 20060203
US 2006-347554 20060203
US 2006-390940 20060327

AN 2006-038731 [04] WPIDS
AB WO 2005115441 A2 UPAB: 20060116

NOVELTY - A formulation, for delivery of parathyroid hormone (PTH) across a mucosal cellular layer, comprising a mixture of PTH and an enhancer, where the enhancer is capable of modulating the barrier function of a cellular tight junction, is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

(1) delivering PTH to a human;
(2) treating osteoporosis or osteopenia in a human; and
(3) a pharmaceutical composition product comprising:
(a) an aqueous solution of a PTH peptide, present in a container, at a concentration to produce therapeutical plasma concentrations;
(b) a container into which the composition is placed; and
(c) an actuator fluidly connected to the container able to produce an aerosol which sprays out of a tip of the solution when actuated, where the aerosol has a spray pattern ellipticity ratio of 1.00-1.40, a spray pattern major and minor axes of 10-50 mm when measured at a height of 0.3-10 cm distance from the actuator tip, where the aerosol is comprised of droplets of the PTH solution and less than 10% of the droplets are smaller than 10 microns in size, or where the droplets are 25-700 microns in size.

ACTIVITY - Osteopathic.

No biological data given.

MECHANISM OF ACTION - None Given.

USE - The formulation, composition and method are useful for delivering PTH across a mucosal cellular layer, and for treating osteoporosis or osteopenia.

L2 ANSWER 8 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2005-796807 [81] WPIDS
DOC. NO. CPI: C2005-245533 [81]
TITLE: Oral dosage form, useful for increasing the intestinal absorption of a drug (poorly absorbable in the intestine), comprises a drug; an enhancer; a promoter; and optionally, a protector
DERWENT CLASS: A96; B05; B07
INVENTOR: CHO S; CHOI S; CHO S W; CHOI S H
PATENT ASSIGNEE: (CHOS-I) CHO S; (CHOI-I) CHOI S; (PROC-N) PROCARRIER INC;

(CHOI-I) CHOI S H
COUNTRY COUNT: 109

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|-------|----------|
| WO 2005105050 | A1 | 20051110 | (200581)* | EN | 44[7] | |
| US 20060088592 | A1 | 20060427 | (200629) | EN | | |
| KR 2005104152 | A | 20051102 | (200650) | KO | | |
| EP 1744731 | A1 | 20070124 | (200708) | EN | | |
| AU 2005237580 | A1 | 20051110 | (200729) | EN | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|------|-----------------|----------|
| WO 2005105050 | A1 | WO 2005-US14409 | 20050428 |
| KR 2005104152 | A | KR 2004-29465 | 20040428 |
| US 20060088592 | A1 | US 2004-973644 | 20041025 |
| EP 1744731 | A1 | EP 2005-756425 | 20050428 |
| EP 1744731 | A1 | WO 2005-US14409 | 20050428 |
| AU 2005237580 | A1 | AU 2005-237580 | 20050428 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---------------|------|---------------|
| EP 1744731 | A1 | WO 2005105050 |
| AU 2005237580 | A1 | WO 2005105050 |

PRIORITY APPLN. INFO: US 2004-973644 20041025
KR 2004-29465 20040428

AN 2005-796807 [81] WPIDS

AB WO 2005105050 A1 UPAB: 20060125

NOVELTY - Dosage form (A) for oral delivery of a drug (poorly absorbable in the intestine), comprises a drug; an enhancer for increasing absorption of the drug through the intestinal mucosa; a promoter for functioning synergistically with the enhancer for further increasing absorption of the drug through the intestinal mucosa; and optionally, a protector for reducing/inhibiting decomposition or inactivation of the drug in the gastrointestinal tract.

USE - (A) is useful for increasing intestinal absorption of a poorly absorbable drug (comprising a peptide or protein, an aminoglycoside antibiotic or a hydrophilic or amphipathic drug, preferably insulin, human growth hormone, calcitonin, isepamicin, netilmicin, teicoplanin, catechin, aztreonam or paclitaxel) (claimed). The ability of (A) to increase intestinal absorption of recombinant human growth hormone (rhGH) was tested in male Sprague Dawley rats. The results showed that (A) significantly improved intraduodenal absorption of rhGH without modification of the drug.

ADVANTAGE - (A) is not only useful for increasing the intestinal absorption of the drug, but also simultaneously reduces or inhibits decomposition or inactivation of the drugs (claimed) due to physical and/or chemical factors. The protectors minimize aggregation by reducing the adsorption of drugs at interfaces, thus improves the physical stability of the drug.

L2 ANSWER 9 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2007-025563 [03] WPIDS
CROSS REFERENCE: 2005-563668; 2007-131828
DOC. NO. CPI: C2007-009341 [03]
TITLE: New azabicyclic heterocycle compound including all

prodrugs, salts and stereoisomers useful for treating cannabinoid receptor mediated disease or disorder, e.g. Alzheimer's disease and Parkinson's disease

DERWENT CLASS:

B02

INVENTOR:

ELLSWORTH B; ELLSWORTH B A; EWING W; EWING W R; GERRITZ S; GU Z; HUANG Y; JOHNSON S; JOHNSON S R; MIKKILINENI A; MIKKILINENI A B; MIKKILINENI A B; MURUGESAN N; PENDRI A; SHER P; SHER P M; SITKOFF D; SUN C; WANG Y; WU G; WU X; YU G; HUARG Y

PATENT ASSIGNEE:

(BRIM-C) BRISTOL-MYERS SQUIBB CO

COUNTRY COUNT:

107

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|-----------------|------|----------|-----------|----|--------|----------|
| WO 2005063761 | A1 | 20050714 | (200703)* | EN | 220[0] | |
| EP 1697370 | A1 | 20060906 | (200703) | EN | | |
| NO 2006002704 | A | 20060905 | (200703) | NO | | |
| AU 2004309365 | A1 | 20050714 | (200707) | EN | | |
| MX 2006006473 | A1 | 20060801 | (200707) | ES | | |
| BR 2004017771 | A | 20070417 | (200729) | PT | | |
| EP 1697370 | B1 | 20070425 | (200730) | EN | | |
| JP 2007514768 | W | 20070607 | (200739) | JA | 174 | |
| DE 602004006165 | E | 20070606 | (200741) | DE | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|-----------------|------|----------------------|----------|
| WO 2005063761 | A1 | WO 2004-US42820 | 20041217 |
| AU 2004309365 | A1 | AU 2004-309365 | 20041217 |
| BR 2004017771 | A | BR 2004-17771 | 20041217 |
| EP 1697370 | A1 | EP 2004-814952 | 20041217 |
| EP 1697370 | B1 | EP 2004-814952 | 20041217 |
| EP 1697370 | A1 | WO 2004-US42820 | 20041217 |
| NO 2006002704 | A | WO 2004-US42820 | 20041217 |
| MX 2006006473 | A1 | WO 2004-US42820 | 20041217 |
| BR 2004017771 | A | WO 2004-US42820 | 20041217 |
| EP 1697370 | B1 | WO 2004-US42820 | 20041217 |
| JP 2007514768 | W | WO 2004-US42820 | 20041217 |
| JP 2007514768 | W | JP 2006-545558 | 20041217 |
| MX 2006006473 | A1 | MX 2006-6473 | 20060607 |
| NO 2006002704 | A | NO 2006-2704 | 20060612 |
| DE 602004006165 | E | DE 2004-602004006165 | 20041217 |
| DE 602004006165 | E | EP 2004-814952 | 20041217 |
| DE 602004006165 | E | WO 2004-US42820 | 20041217 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|-----------------|------|---------------|
| EP 1697370 | A1 | WO 2005063761 |
| AU 2004309365 | A1 | WO 2005063761 |
| MX 2006006473 | A1 | WO 2005063761 |
| BR 2004017771 | A | WO 2005063761 |
| EP 1697370 | B1 | WO 2005063761 |
| JP 2007514768 | W | WO 2005063761 |
| DE 602004006165 | E | EP 1697370 |
| DE 602004006165 | E | WO 2005063761 |

PRIORITY APPLN. INFO: US 2004-16135 20041217
US 2003-531451P 20031219

AN 2007-025563 [03] WPIDS
CR 2005-563668; 2007-131828
AB WO 2005063761 A1 UPAB: 20070112

NOVELTY - Azabicyclic heterocycle compounds (I) including all prodrugs, salts and stereoisomers are new.

DETAILED DESCRIPTION - Azabicyclic heterocycle compounds of formula (I) including all prodrugs, salts and stereoisomers are new.

n=a single bond or double bond;

R1, R2= e.g. halo, CN, alkyl, heterocyclalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, aryloxy, heteroaryloxy, -NR8R9 or -OS(O)mNR8R9;

R3= e.g. alky or heteroarylalkyl;

R6= e.g. H or heteroarylalkyl;

R7= e.g. absent when n is double bond; or H or -S(O)mR8 when n is single bond;

R8, R9= e.g. H or alkyl; and

m=1 or 2.

R8 and R9 taken together can optionally form a 4, 5, 6, or 7-membered heterocycl ring or a 5 or 6-membered heteroaryl ring.

Full definitions are given in the Definitions Field (Full Definitions).

INDEPENDENT CLAIMS are also included for:

(1) a pharmaceutical composition, comprising azabicyclic heterocycle compound(s) of formula (I); and a diluent or carrier;

(2) a method of treating a cannabinoid receptor mediated disease or disorder, comprising administering to a patient in need of treatment an amount of the above compound (I);

(3) a pharmaceutical combination, comprising the pharmaceutical composition; and therapeutic agent from anti-obesity agents, appetite suppressants, anti-diabetic agents, anti-hyperlipidemia agents, hypolipidemic agents, hypocholesterolemic agents, lipid-modulating agents, cholesterol-lowering agents, lipid-lowering agents, HDL-raising agent, anti-hypertensive agents, agents used to treat sleep disorders, agents used to treat substance abuse and addictive disorders, anti-anxiety agents, anti-depressants, anti-psychotic agents, cognition enhancing agents, agents used to treat cognitive disorders, agents used to treat Alzheimer's disease, agents used to treat Parkinson's disease, anti-inflammatory agents, agents used to treat neurodegeneration, agents used to treat arteriosclerosis, agents used to treat respiratory conditions, agents used to treat bowel disorders, cardiac glycosides, or anti-tumor agents; and

(4) a method for improvement of cognitive function and memory impairment.

ACTIVITY - Eating-Disorders-Gen; Anorectic; Metabolic; Antidiabetic; Antiarteriosclerotic; Hypotensive; Antiinfertility; Gynecological; Cardiovascular-Gen; Antiarthritic; Osteopathic; Dermatological; Antilipemic; Hypnotic; Nootropic; Antiinflammatory; CNS-Gen; Endocrine-Gen; Neuroleptic; Vasotropic; Immunosuppressive; Antidepressant; Tranquilizer; Antimanic; Neuroprotective; Antiparkinsonian; Hypertensive; Respiratory-Gen; Cardiant; Antiarthritic; Antirheumatic; Gastrointestinal-Gen; Antipsoriatic; Antiasthmatic; Thyromimetic; Cytostatic; Antiallergic; Vulnerary.

MECHANISM OF ACTION - Cannabinoid receptor modulator; CB-1 receptor antagonist or inverse agonist. Radioligand binding studies were conducted in membranes prepared from Chinese Hamster Ovary (CHO) cells that over-express recombinant human CB-1 (CHO-CB-1 cells). Total assay volume for the binding studies was 100 μ l. The membranes (5 micrograms) were brought up to a final volume of 95 μ l with binding buffer (25 mM). The diluted membranes were preincubated with a compound or dimethylsulfoxide (DMSO) vehicle. The binding reaction was initiated by the addition of 2 nM final 3H-CO-55,940 (120 Ci/mmol) and proceeded for 2.5 hours at room temperature. The binding reaction was terminated by transferring the reaction to GF/B 96 well plates (presoaked with 0.3% polyethylenimine).

The bound radiolabel was quantitated by scintillation counting. The CB-2 radioligand binding assay was conducted identically except that the membranes from CHO-CB-2 cells were used. The compound (I) possessed a CB-1 receptor binding affinity of 0.01-10000 nM.

USE - (I) are used for treating a cannabinoid receptor mediated disease or disorder. They are used to treat dementia, Alzheimer's disease, short term memory loss and attention deficit disorders; neurodegenerative disorders, Parkinson's Disease, cerebral apoplexy and craniocerebral trauma; hypotension, endotoxin-induced hypotension; Huntington's disease; Pick's disease; Creutzfeldt-Jakob disease; head trauma; and age-related cognitive decline. (I) are used to treat diseases associated with dysfunction of brain dopaminergic systems e.g. substance abuse disorders, diseases from catabolism in connection with pulmonary dysfunction and ventilator dependency; cardiac dysfunction, valvular disease, myocardial infarction, cardiac hypertrophy or congestive heart failure; transplant rejection; rheumatoid arthritis; multiple sclerosis; inflammatory bowel disease; graft versus host disease; T-cell mediated hypersensitivity disease; psoriasis; asthma; Hashimoto's thyroiditis; Guillain-Barre syndrome; cancer; contact dermatitis; allergic rhinitis; and ischemic or reperfusion injury. (I) are used for the treatment of alcohol, amphetamines, caffeine, cannabis, cocaine, hallucinogens, inhalants, nicotine, opioids, phencyclidine, sedative-hypnotics, benzodiazepines or other substances abuse. (I) are used for the treatment of rejection due to organ transplant, acute transplant, xenotransplant, heterograft and homograft; protection from ischemic or reperfusion injury; transplantation tolerance induction; rheumatoid arthritis, psoriatic arthritis and osteoarthritis; multiple sclerosis; chronic obstructive pulmonary disease (COPD), emphysema, bronchitis, and acute respiratory distress syndrome (ARDS); inflammatory bowel disease, ulcerative colitis and Crohn's disease; systemic lupus erythematosus; graft versus host disease; contact hypersensitivity, delayed-type hypersensitivity, gluten-sensitive enteropathy and Celiac disease; psoriasis; contact dermatitis; Hashimoto's thyroiditis; Sjogren's syndrome; autoimmune hyperthyroidism, e.g. Graves' Disease; Addison's disease; autoimmune polyglandular disease or syndrome; autoimmune alopecia; pernicious anemia; vitiligo; autoimmune Hypopituitarism; Guillain-Barre syndrome; other autoimmune diseases; glomerulonephritis; serum sickness; urticaria; asthma, hayfever, allergic rhinitis and skin allergies; scleroderma; mycosis fungoides; acute inflammatory and respiratory responses, including acute respiratory distress syndrome and ischemia/reperfusion injury; dermatomyositis; alopecia areata; chronic actinic dermatitis; eczema; Behcet's disease; Pustulosis Palmoplantaris; Pyoderma gangrenum; Sezary's syndrome; atopic dermatitis; systemic sclerosis; and morphea. (I) are used for the treatment of arthritis, inflammatory bowel disease, and autoimmune glomerulonephritis. (All claimed)

ADVANTAGE - (I) regulate desires to consume sugars, carbohydrates, alcohol, drugs. (I) provide an enhanced therapeutic effect for the treatment of Parkinson's disease, schizophrenic disorders, cognition-enhancing agents.

| | | | |
|-------------------|---|----------------------|-------------------------|
| L2 | ANSWER 10 OF 35 | WPIDS COPYRIGHT 2007 | THE THOMSON CORP on STN |
| ACCESSION NUMBER: | 2005-395778 [40] | WPIDS | |
| DOC. NO. CPI: | C2005-122383 [40] | | |
| TITLE: | Composition, useful e.g. to treat, prevent or ameliorate Parkinson's disease, cancer, Alzheimer's disease, schizophrenia, stroke, neuronal degeneration and inflammation, comprises a substituted pyrimidine derivative | | |
| DERWENT CLASS: | B03; D16 | | |
| INVENTOR: | MARTIN R; MOHAN R; ORDENTLICH P | | |
| PATENT ASSIGNEE: | (XCEP-N) X-CEPTOR THERAPEUTICS INC | | |
| COUNTRY COUNT: | 106 | | |

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---------------|------|----------|-----------|----|--------|----------|
| WO 2005047268 | A2 | 20050526 | (200540)* | EN | 117[4] | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|------|-----------------|----------|
| WO 2005047268 | A2 | WO 2004-US37642 | 20041109 |

PRIORITY APPLN. INFO: US 2003-519030P 20031110

AN 2005-395778 [40] WPIDS

AB WO 2005047268 A2 UPAB: 20051222

NOVELTY - Composition (A) comprising a substituted pyrimidine derivative (I), is new.

DETAILED DESCRIPTION - Composition (A) comprising a substituted pyrimidine derivative of formula (I), is new.

R1 = alkyl, alkenyl, alkynyl, alkoxy, (hetero)aryl, cycloalkyl, heterocyclyl (all optionally substituted), aminoalkyl, (pseudo)halo, CN, nitro, hydroxyl, formyl, mercapto or hydroxycarbonyl;

either:

R2 = (hetero)aryl, cycloalkyl, heterocyclyl, (hetero)aralkyl (all optionally substituted), -OR6, -S(O)tr6, -N(R7)R8, -N(R9)S(O)tr10, -C(O)R6, -C(O)OR6 or -C(O)N(R7)R8; and

R3 = alkyl, alkenyl, alkynyl, (hetero)aryl, cycloalkyl or heterocyclyl (all optionally substituted), H, (pseudo)halo, alkoxy, aminoalkyl, CN, nitro, hydroxyl, formyl, mercapto;

or

CR2R3 = cycloalkyl ring, heterocyclyl ring or cycloalkenyl ring (all optionally substituted);

R4 = (cyclo)alkyl, alkenyl, alkynyl, cycloalkylalkyl, aralkyl, heterocyclyl, (hetero)aryl, heteroaralkyl, heterocyclylalkyl (all optionally substituted), H, (pseudo)halo, CN, nitro, hydroxyl, formyl, mercapto, -R12-OR13, -R12-N(R14)R15, -R12-C(O)R13, -R12-C(O)OR15, -R12-C(O)N(R14)R15, -R12-N(R14)C(O)R15, -R12-N(R14)C(O)OR15, -R12-S(O)tr15 or -R12-S(O)trN(R14)R15;

R6, R8, R10, R13, R15 = alkyl, aryl, aralkyl or heterocyclyl (all optionally substituted);

R7, R9, R14 = H or optionally substituted alkyl;

R12 = 1-6C alkyl, 1-6C alkenyl, 1-6C alkynyl or 1-6C alkoxy;

t = 0-2; and

n = 0-5.

INDEPENDENT CLAIMS are also included for:

(1) altering the activity of a NGFI- family member or its heterodimeric complex comprising the NGFI- family member or its heterodimeric complex with (A) or (I);

(2) regulating the activity of NGFI-Bbeta/retinoid X receptors (RXR) heterodimers in neuronal cells in culture comprising incubating a stem cell with (A); and

(3) a pharmaceutical composition comprising (I) or (A) and an additional active compound.

ACTIVITY - Antiparkinsonian; Cytostatic; Neuroprotective; Nootropic; Neuroleptic; Antimanic; Antidepressant; Antiinflammatory; Vulnery; Cerebroprotective; Vasotropic; Osteopathic; Antiarthritic; Antirheumatic; Antipsoriatic; Antiulcer; Gastrointestinal-Gen.; Antithyroid; Antiarteriosclerotic; Cardiovascular-Gen.; Cardiant; Immunosuppressive.

MECHANISM OF ACTION - NGFI-B family modulator. The ability of (I) to modulate NGFI-B was tested in CV-1 cells using Gal4-chimera - reporter gene screening assay. The results showed that the median effective concentration of (I) was less than 50 microM.

USE - (A) is useful for the treatment, prevention or amelioration of one or more symptoms of a disease or disorder (Parkinson's disease, cancer, Alzheimer's disease, schizophrenia, manic depressive illness, multiple sclerosis, neuronal inflammatory responses, neuronal injury, stroke, neuronal degeneration, inflammation, acute inflammatory reactions, osteoporosis, arthritis, rheumatoid arthritis, psoriatic arthritis, sarcoid arthritis, ulcerative colitis, thyroiditis, atherosclerosis, and atherosclerosis related cardiovascular and coronary heart disease) that is modulated by NGFI-B family activity (preferably NGFI-Bbeta or NGFI-Bbeta/retinoid X receptors (RXR) heterodimer activity) or in which NGFI-B family activity is implicated in a patient. (A) is useful for maintaining neuronal cell viability after a transplantation procedure in a donor recipient; treat, prevent or ameliorate multiple sclerosis, coronary heart disease event, a cerebrovascular event and /or intermittent claudication; treat or prevent an inflammatory immune disease (arthritis, rheumatoid arthritis, psoriatic arthritis, infectious arthritis, juvenile rheumatoid arthritis, osteoarthritis or spondyloarthropathies). (All claimed.)

L2 ANSWER 11 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
 ACCESSION NUMBER: 2005-182219 [19] WPIDS
 DOC. NO. CPI: C2005-058176 [19]
 TITLE: New pyrazine derivatives are cannabinoid receptor modulators useful for treating e.g. bulimia, obesity, cardiovascular disease, osteoarthritis, dermatological disorders, insulin resistance, hypercholesterolemia and sleep disorders
 DERWENT CLASS: B03; B05
 INVENTOR: ELLSWORTH B A; PENDRI A; SUN C; ELLSWORTH B
 PATENT ASSIGNEE: (BRIM-C) BRISTOL-MYERS SQUIBB CO; (ELLS-I) ELLSWORTH B A; (PEND-I) PENDRI A; (SUNC-I) SUN C
 COUNTRY COUNT: 107
 PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|-------|----------|
| WO 2005016286 | A2 | 20050224 | (200519)* | EN | 74[0] | |
| US 20050054659 | A1 | 20050310 | (200519) | EN | | |
| EP 1653962 | A2 | 20060510 | (200640) | EN | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|----------------|-----------------|----------|
| WO 2005016286 | A2 | WO 2004-US26599 | 20040816 |
| US 20050054659 | A1 Provisional | US 2003-495807P | 20030815 |
| US 20050054659 | A1 | US 2004-917199 | 20040812 |
| EP 1653962 | A2 | EP 2004-781313 | 20040816 |
| EP 1653962 | A2 | WO 2004-US26599 | 20040816 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|------------|-------------|-----------------|
| EP 1653962 | A2 Based on | WO 2005016286 A |

PRIORITY APPLN. INFO: US 2004-917199 20040812
 US 2003-495807P 20030815

AN 2005-182219 [19] WPIDS
 AB WO 2005016286 A2 UPAB: 20060121
 NOVELTY - Pyrazine derivatives (I) and their salts and stereoisomers are new.

DETAILED DESCRIPTION - Pyrazine derivatives (I) and their salts and stereoisomers are new.

G1, G2 = (hetero)aryl (optionally substituted);

A = CR4R5R6, NR2R3, SR7, S(O)R8, OR9, C(O)NR2R3, S(O)2R8 or optionally substituted heteroaryl;

R1 = H, halo, OH, CN, alkyl or (hetero)aryl; either

R2 = H, (cyclo)alkyl, heterocyclyl, alkoxy, (hetero)aryl, C(O)R10, aminoalkyl, iminoalkyl, S(O)R8 or S(O)2R8 (where the carbon chains in (cyclo)alkyl contains at least one substituent of alkenyl, alkynyl, OH, alkoxyl, arylalkyloxy, heteroaryloxy, heteroarylalkyloxy, alkanoyl, halo, haloalkyl, thio, alkylthio, NO2, CN, COOH, carbonyl, carbalkoyl, carboxamido, aminoaryl, amido, azido, guanidino, amidino, sulfonamido, CF3, OCF2, OCF3, aryloxy or a heteroaryl group that is fused to another aryl or heteroaryl group); and

R3 = H, (cyclo)alkyl, heterocyclyl, alkoxy, (hetero)aryl, C(O)R10, aminoalkyl, iminoalkyl, S(O)R8 or S(O)2R8; or

R2R3 = a heterocycle; or

R2+R3 = a stable 4-8 membered heterocycle containing N and a carbonyl (optionally substituted with (hetero)aryl, alkenyl, alkynyl, OH, alkoxyl, arylalkyloxy, heteroaryloxy, heteroarylalkyloxy, alkanoyl, haloalkyl, thio, alkylthio, NO2, CN, COOH, carbonyl, carbalkoyl, carboxamido, amino, alkylamino, arylamido, heterarylamido, azido, guanidino, amidino or sulfonamido; either

R4-R6 = H, alkyl, OH, NR2R3, C(O)NR2R3, C(=N-R2)NR2R3 or heteroaryl; or

R4+R5 = cycloalkyl or heterocyclyl group; or

CR4+R5 = an imine;

R7 = (cyclo)alkyl, heterocyclyl or (hetero)aryl;

R8 = (cyclo)alkyl, aminoalkyl, aminocycloalkyl, aminoheterocyclyl, amino(hetero)aryl, heterocyclyl, aryl or NR2R3;

R9 = (hetero)aryl, (cyclo)alkyl, heterocyclyl or C(O)NR2R3; and

R10 = alkyl, (hetero)aryl or alkoxy.

Provided that when one or both of G1 and G2 is phenyl, pyridyl or thienyl, the 3 groups are substituted with aryl or (hetero)aryloxy.

An INDEPENDENT CLAIM is also included for a pharmaceutical combination comprising at least one compound of (I) and a therapeutic agent (anti-obesity agents; appetite suppressants; anti-diabetic agents; anti-hyperlipidemia agents; hypolipidemic agents; hypocholesterolemic agents; lipid-modulating agents; cholesterol-lowering agents; lipid-lowering agents; anti-hypertensive agents; agents used to treat sleep disorders; agents used to treat substance abuse and addictive disorders; anti-anxiety agents; anti-depressants; anti-psychotic agents; cognition enhancing agents; agents used to treat cognitive disorders; agents used to treat Alzheimer's disease; agents used to treat Parkinson's disease; anti-inflammatory agents; agents used to treat neurodegeneration; agents used to treat arteriosclerosis; agents used to treat respiratory conditions; agents used to treat bowel disorders; cardiac glycosides; or anti-tumor agents).

ACTIVITY - Eating-Disorders-Gen.; Anorectic; Vasotropic; Antidiabetic; Antiarteriosclerotic; Hypotensive; Gynecological; Cardiovascular-Gen.; Antiarthritic; Osteopathic; Dermatological; Antilipemic; CNS-Gen.; Endocrine-Gen.; Neuroleptic; Antiaddictive; Antidepressant; Tranquilizer; Antimanic; Nootropic; Neuroprotective; Antiparkinsonian; Cerebroprotective; Vulnerary; Hypertensive; Hemostatic; Anticonvulsant; Respiratory-Gen.; Cardiant; Immunosuppressive; Antirheumatic; Antiinflammatory; Gastrointestinal-Gen.; Antipsoriatic; Antiasthmatic; Thyromimetic; Cytostatic; Antiallergic; Antialcoholic; Antismoking; Antiulcer; Antithyroid; Anabolic; Antianemic; Nephrotropic.

MECHANISM OF ACTION - Cannabinoid receptor-1 modulator.

(I) were tested for their cannabinoid binding activity in Chinese Hamster Ovary cells using cannabinoid receptor binding assay. The results showed that the cannabinoid receptor-1 binding inhibition constant value of 5,6-bis(4-methylphenyl)-2-(phenoxyethylaminocarbonyl)pyrazine was

0.01-1300 nM.

USE - Used for treating bulimia, obesity or any disease resulting in the patient becoming overweight, metabolic disorders, eating disorders and appetitive disorders, including treatment of the conditions associated with those disorders e.g. diabetes, arteriosclerosis, hypertension, polycystic ovary disease, cardiovascular disease, osteoarthritis, dermatological disorders, insulin resistance, hypercholesterolemia, hypertriglyceridemia, cholelithiasis and sleep disorders, hyperlipidemic conditions, crania, Prater-Willie Syndrome, Frolic's Syndrome, Type II diabetes, growth hormone deficiency, Turner's Syndrome and other pathological states characterized by reduced metabolic activity or reduced energy expenditure, psychiatric disorders (depression, anxiety, mania or schizophrenia), improvement of cognitive function and memory impairment e.g. dementia, Alzheimer's disease, short term memory loss and attention deficit disorders; neurodegenerative disorders, Parkinson's disease, cerebral apoplexy and crania trauma; hypotension, hemorrhagic and endotoxin-induced hypotension; Huntington's disease; Pick's disease; Creutzfeld-Jakob disease; head trauma; and age-related cognitive decline, diseases associated with dysfunction of brain dopaminergic systems including Parkinson's Disease and substance abuse disorders, catabolism, substance abuse or dependence disorders, drug or alcohol withdrawal syndromes and substance-induced anxiety or mood disorder with onset during withdrawal, leukocyte activation-associated disorders including rejection due to organ transplant, acute transplant, xenografts, heterograft and homograft; protection from ischemic or reperfusion injury, transplantation tolerance induction; rheumatoid arthritis, psoriatic arthritis and osteoarthritis; multiple sclerosis; chronic obstructive pulmonary disease, emphysema, bronchitis, and acute respiratory distress syndrome; inflammatory bowel disease, ulcerative colitis and Crohn's disease; systemic lupus erythematosus; graft vs. host disease; T-cell mediated hypersensitivity diseases, including contact hypersensitivity, delayed-type hypersensitivity, gluten-sensitive enteropathy and Celiac disease; psoriasis; contact dermatitis; Hashimoto's thyroiditis; Sjorgren's syndrome; autoimmune hyperthyroidism, Addison's disease; autoimmune polyglandular disease or syndrome; autoimmune alopecia; pernicious anemia; vitiligo; autoimmune hypopituitarism; Guillain-Barre syndrome; other autoimmune diseases; glomerulonephritis; serum sickness; urticaria; asthma, hayfever, allergic rhinitis and skin allergies; scleroderma; mycosis fungoides; acute respiratory distress syndrome and ischemia/reperfusion injury; dermatomyositis; alopecia areata; chronic actinic dermatitis; eczema; Behcet's disease; Pustulosis palmoplantaris; Pyoderma gangrenum; Sezary's syndrome; atopic dermatitis; systemic sclerosis or morphea and inflammatory diseases e.g. arthritis, inflammatory bowel disease and autoimmune glomerulonephritis (all claimed.)

L2 ANSWER 12 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2005-100092 [11] WPIDS
CROSS REFERENCE: 2005-150105
DOC. NO. CPI: C2005-033526 [11]
TITLE: Treatment of diseases associated with G-protein coupled cannabinoid receptor activity e.g. bulimia, obesity, metabolic disorders, eating disorders and appetitive disorder by administration of tetrahydroquinoline derivative
DERWENT CLASS: B02; B05
INVENTOR: ELLSWORTH B A; EWING W R; GANG W; HUANG Y; SHER P M; SITKOFF D; SULSKY R B; SUN C; WU G; ELLSWORTH B; EWING W; GERRITZ S; GU Z; MURUGESAN N; PENDRI A; SULSKY R
PATENT ASSIGNEE: (BRIM-C) BRISTOL-MYERS SQUIBB CO; (EWING-I) EWING W R; (SHER-I) SHER P M; (SULS-I) SULSKY R B; (SUNC-I) SUN C; (WUGG-I) WU G
COUNTRY COUNT: 107

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|-------|----------|
| US 20050009870 | A1 | 20050113 | (200511)* | EN | 31[0] | |
| WO 2005007628 | A1 | 20050127 | (200511) | EN | | |
| WO 2005007111 | A2 | 20050127 | (200511) | EN | | |
| EP 1644335 | A1 | 20060412 | (200626) | EN | | |
| EP 1644370 | A2 | 20060412 | (200626) | EN | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|----------------|-----------------|----------|
| US 20050009870 | A1 Provisional | US 2003-486774P | 20030711 |
| US 20050009870 | A1 | US 2004-889268 | 20040712 |
| WO 2005007111 | A2 | WO 2004-US22407 | 20040712 |
| WO 2005007628 | A1 | WO 2004-US22408 | 20040712 |
| EP 1644370 | A2 | EP 2004-778085 | 20040712 |
| EP 1644335 | A1 | EP 2004-778086 | 20040712 |
| EP 1644335 | A1 | WO 2004-US22408 | 20040712 |
| EP 1644370 | A2 | WO 2004-US22407 | 20040712 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|------------|-------------|-----------------|
| EP 1644370 | A2 Based on | WO 2005007111 A |
| EP 1644335 | A1 Based on | WO 2005007628 A |

PRIORITY APPLN. INFO: US 2004-889268 20040712
US 2003-486774P 20030711

AN 2005-100092 [11] WPIDS

CR 2005-150105

AB US 20050009870 A1 UPAB: 20060121

NOVELTY - Treatment or prevention of diseases associated with G-protein coupled cannabinoid receptor activity involves administration of tetrahydroquinoline derivative.

DETAILED DESCRIPTION - Treatment or prevention of diseases associated with G-protein coupled cannabinoid receptor activity involves administration of tetrahydroquinoline derivative of formula (I), its salt and stereoisomer.

R1,R3,R4 = H, alkyl, halo or CN;

R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocycloalkyl, (hetero)aryl, arylalkyl, heteroarylalkyl, acyl, halo, CF3, CN, nitro, OR11, OCF2H, OCF3, NR12R12a, COOR12 or CONR12R12a;

R5 = alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, COOR13 or CONR13R13a;

R7,R7a = H, alkyl or cycloalkyl;

R9 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocycloalkyl, arylalkyl or heteroarylalkyl;

R10 = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocycloalkyl, (hetero)aryl, arylalkyl or heteroarylalkyl;

R11 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocycloalkyl, arylalkyl, (hetero)aryl or heteroarylalkyl;

R12,R12a,R13,R13a,R15 = R9 or (hetero)aryl;

R12+R12a, R13+R13a,R10+R15 = cycloalkyl or heterocyclyl;

X = -(CR14R14a)n-;

Y = -S(O)2- or -SO2N(R15)-;

R14, R14a = H or alkyl;

n = 0 - 2.

INDEPENDENT CLAIMS are included for the following:

(1) new tetrahydroquinoline derivative of formula (I'), its salt or stereoisomer; and

(2) a pharmaceutical composition comprising (I'), carrier or diluent, and a therapeutic agent selected from e.g. anti-obesity agent; anti-diabetic agent; or anti-tumor agent.

R'2 = alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocycloalkyl, heteroaryl, arylalkyl, heteroarylalkyl, acyl, OR'11 or OCF2H; and

R'11 = (hetero)aryl or heteroarylalkyl.

Provided that R5 is neither imidazole nor substituted imidazole; when Y is -S(O)2-, R10 is not a seven-membered lactam; and when Y is -SO2N(R15)-, neither R10 nor R15 is a seven-membered lactam.

ACTIVITY - Eating-Disorders-Gen.; Anorectic; Antidiabetic; Antiarteriosclerotic; Hypotensive; Gynecological; Cardiovascular-Gen.; Osteopathic; Dermatological; Antilipemic; Sedative; Tranquilizer; Endocrine-Gen.; Antiaddictive; Antidepressant; Antimanic; Neuroleptic; Nootropic; Neuroprotective; Antiparkinsonian; Cerebroprotective; Vulnerary; Hypertensive; Hemostatic; Anticonvulsant; Cardiant; Immunosuppressive; Antirheumatic; Antiarthritic; Antiinflammatory; Gastrointestinal-Gen.; Antiallergic; Antipsoriatic; Antiasthmatic; Antithyroid; Thyromimetic; CNS-Gen.; Cytostatic; Vasotropic; Antialcoholic; Antismoking; Hypnotic; Respiratory-Gen.; Antiulcer; Anabolic; Antianemic; Nephrotropic; Antipyretic; Fungicide; Anti-HIV.

MECHANISM OF ACTION - G-protein coupled cannabinoid (CB) receptor (preferably CB-1) modulator. Radioligand binding study was conducted in membranes prepared from Chinese Hamster Ovary (CHO) cells that over-express recombinant human CB-1 (CHO-CB-1 cells). Total assay volume for the binding studies was 100 microl. Membranes (5 ug) were brought up to a final volume of 95 microl with binding Buffer (N-2-hydroxyethylpiperazine-N-2-ethanesulfonic acid (HEPES) (25 mM), NaCl (150 mM), CaCl2 (2.5 mM), MgCl2 (1 mM), 0.25% bovine serum albumin). The diluted membranes were preincubated with N-(1-benzyl-2-oxo-6-(thiophen-3-yl)-1,2,3,4-tetrahydroquinolin-3-yl)-benzenesulfonamide (A). The binding reaction was initiated by the addition of 2 nM final 3H-CP-55940 (120 Ci/mmol) and proceeded for 2.5 hours at room temperature. The binding reaction was terminated and the mixture was worked up. Ki value was determined, which was found to be 0.01 - 4000 nM.

USE - For the treatment or prevention of diseases and disorders associated with G-protein coupled cannabinoid receptor activity in a mammal e.g. bulimia, obesity or any disease resulting in the patient becoming overweight, metabolic disorders, eating disorders, diabetes, arteriosclerosis, hypertension, polycystic ovary disease, cardiovascular disease, osteoarthritis, dermatological disorders, insulin resistance, hypercholesterolemia, hypertriglyceridemia, cholelithiasis, sleep disorders, hyperlipidemic conditions; craniopharyngeoma, Prader-Willi Syndrome, Frohlich's Syndrome, Type II diabetes, growth hormone deficiency, Turner's Syndrome and other pathological states characterized by reduced metabolic activity or reduced energy expenditure; psychiatric disorders selected from substance abuse, addictive disorders, depression, anxiety, mania and schizophrenia; for the improvement of cognitive function and memory impairment, e.g. dementia, Alzheimer's disease, short term memory loss and attention deficit disorders; neurodegenerative disorders, Parkinson's Disease, cerebral apoplexy and craniocerebral trauma; hypotension; Huntington's disease; Pick's disease; Creutzfeld-Jakob disease; head trauma; catabolism in connection with pulmonary dysfunction and ventilator dependency; cardiac dysfunction, valvular disease, myocardial infarction, cardiac hypertrophy or congestive heart failure; transplant rejection; rheumatoid arthritis; multiple sclerosis; inflammatory bowel disease; lupus; graft vs. host disease; T-cell mediated hypersensitivity disease; psoriasis; asthma; Hashimoto's

thyroiditis; Guillain-Barre syndrome; cancer; contact dermatitis; allergic rhinitis; and ischemic or reperfusion injury; substance abuse; leukocyte activation-associated disorders including rejection due to organ transplant; myocardial infarction, stroke or other causes; transplantation tolerance induction; psoriatic arthritis and osteoarthritis; chronic obstructive pulmonary disease (COPD), emphysema, bronchitis, and acute respiratory distress syndrome (ARDS); ulcerative colitis and Crohn's disease; contact hypersensitivity, delayed-type hypersensitivity, gluten-sensitive enteropathy and Celiac disease; contact dermatitis; Sjogren's syndrome; autoimmune hyperthyroidism; autoimmune alopecia; pernicious anemia; vitiligo; autoimmune hypopituitarism; other autoimmune diseases; glomerulonephritis; serum sickness; urticaria; hayfever, allergic rhinitis and skin allergies; mycosis fungoides; dermatomyositis; alopecia areata; chronic actinic dermatitis; eczema; Behcet's disease; Pustulosis palmoplantaris; Pyoderma gangrenum; Sezary's syndrome; atopic dermatitis; systemic sclerosis; and morphea (all claimed). Also useful for treating HIV.

ADVANTAGE - The compounds are potent modulators of G-protein coupled cannabinoid (CB) receptors.

L2 ANSWER 13 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
 ACCESSION NUMBER: 2004-525318 [50] WPIDS
 CROSS REFERENCE: 2004-478989; 2005-638763
 DOC. NO. CPI: C2004-193260 [50]
 TITLE: Transmucosal Y2 receptor-binding peptide formulation capable of raising concentration of Y2 receptor-binding peptide in plasma of mammal, useful for producing intranasal medicament for treatment of obesity
 DERWENT CLASS: A96; B04; D16; P34; P42
 INVENTOR: BRANDT G; KLEPPE M S; MACEVILLY C J; QUAY S C; KLEPPE M
 PATENT ASSIGNEE: (NAST-N) NASTECH PHARM CO INC
 COUNTRY COUNT: 105

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|---------|----------|
| WO 2004056314 | A2 | 20040708 | (200450)* | EN | 206[20] | |
| US 20040157777 | A1 | 20040812 | (200454) | EN | | |
| US 20040209807 | A1 | 20041021 | (200470) | EN | | |
| US 20040214772 | A1 | 20041028 | (200471) | EN | | |
| AU 2003299722 | A1 | 20040714 | (200474) | EN | | |
| US 20050002927 | A1 | 20050106 | (200504) | EN | | |
| EP 1581245 | A2 | 20051005 | (200565) | EN | | |
| NO 2005003430 | A | 20050915 | (200568) | NO | | |
| BR 2003016685 | A | 20051101 | (200574) | PT | | |
| MX 2005006572 | A1 | 20060101 | (200637) | ES | | |
| JP 2006516262 | W | 20060629 | (200643) | JA | 129 | |
| IN 2005001373 | P2 | 20060609 | (200648) | EN | | |
| KR 2005101158 | A | 20051020 | (200667) | KO | | |
| US 7157426 | B2 | 20070102 | (200703) | EN | | |
| US 7186691 | B2 | 20070306 | (200718) | EN | | |
| US 7186692 | B2 | 20070306 | (200718) | EN | | |
| US 20070129299 | A1 | 20070607 | (200738) | EN | | |
| US 7229966 | B2 | 20070612 | (200740) | EN | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|-----------|-----------------|----------|
| WO 2004056314 | A2 | WO 2003-US40538 | 20031217 |
| US 20040157777 | A1 CIP of | US 2002-322266 | 20021217 |
| US 20040209807 | A1 CIP of | US 2002-322266 | 20021217 |

| | |
|-------------------------------|--------------------------|
| US 20040214772 A1 CIP of | US 2002-322266 20021217 |
| US 20050002927 A1 CIP of | US 2002-322266 20021217 |
| US 7157426 B2 CIP of | US 2002-322266 20021217 |
| US 7186692 B2 CIP of | US 2002-322266 20021217 |
| US 7186691 B2 CIP of | US 2002-322266 20021217 |
| US 20070129299 A1 CIP of | US 2002-322266 20021217 |
| US 20040157777 A1 Provisional | US 2003-493226P 20030807 |
| US 20040209807 A1 Provisional | US 2003-493226P 20030807 |
| US 20040214772 A1 Provisional | US 2003-493226P 20030807 |
| US 20050002927 A1 Provisional | US 2003-493226P 20030807 |
| US 7157426 B2 Provisional | US 2003-493226P 20030807 |
| US 7186692 B2 Provisional | US 2003-493226P 20030807 |
| US 7186691 B2 Provisional | US 2003-493226P 20030807 |
| US 20070129299 A1 Provisional | US 2003-493226P 20030807 |
| US 20040157777 A1 Provisional | US 2003-501170P 20030908 |
| US 20040209807 A1 Provisional | US 2003-501170P 20030908 |
| US 20040214772 A1 Provisional | US 2003-501170P 20030908 |
| US 20050002927 A1 Provisional | US 2003-501170P 20030908 |
| US 7157426 B2 Provisional | US 2003-501170P 20030908 |
| US 7186692 B2 Provisional | US 2003-501170P 20030908 |
| US 7186691 B2 Provisional | US 2003-501170P 20030908 |
| US 20070129299 A1 Provisional | US 2003-501170P 20030908 |
| US 20040157777 A1 Provisional | US 2003-510785P 20031008 |
| US 20040209807 A1 Provisional | US 2003-510785P 20031008 |
| US 20040214772 A1 Provisional | US 2003-510785P 20031008 |
| US 7186692 B2 Provisional | US 2003-510785P 20031010 |
| US 7186691 B2 Provisional | US 2003-510785P 20031010 |
| US 20070129299 A1 Provisional | US 2003-510785P 20031010 |
| US 20040157777 A1 Provisional | US 2003-510785P 20031010 |
| US 20040209807 A1 Provisional | US 2003-517290P 20031104 |
| US 20040214772 A1 Provisional | US 2003-517290P 20031104 |
| US 20050002927 A1 Provisional | US 2003-517290P 20031104 |
| US 7157426 B2 Provisional | US 2003-517290P 20031104 |
| US 7186692 B2 Provisional | US 2003-517290P 20031104 |
| US 7186691 B2 Provisional | US 2003-517290P 20031104 |
| US 20070129299 A1 Provisional | US 2003-517290P 20031104 |
| US 20040157777 A1 Provisional | US 2003-518812P 20031110 |
| US 20040209807 A1 Provisional | US 2003-518812P 20031110 |
| US 20040214772 A1 Provisional | US 2003-518812P 20031110 |
| US 20050002927 A1 Provisional | US 2003-518812P 20031110 |
| US 7157426 B2 Provisional | US 2003-518812P 20031110 |
| US 7186692 B2 Provisional | US 2003-518812P 20031110 |
| US 7186691 B2 Provisional | US 2003-518812P 20031110 |
| US 20070129299 A1 Provisional | US 2003-518812P 20031110 |
| AU 2003299722 A1 | AU 2003-299722 20031217 |
| BR 2003016685 A | BR 2003-16685 20031217 |
| EP 1581245 A2 | EP 2003-800002 20031217 |
| EP 1581245 A2 | WO 2003-US40538 20031217 |
| NO 2005003430 A | WO 2003-US40538 20031217 |
| BR 2003016685 A | WO 2003-US40538 20031217 |
| MX 2005006572 A1 | WO 2003-US40538 20031217 |
| JP 2006516262 W | WO 2003-US40538 20031217 |
| IN 2005001373 P2 | WO 2003-US40538 20031217 |
| KR 2005101158 A | WO 2003-US40538 20031217 |
| US 20040157777 A1 | US 2003-745069 20031223 |
| US 20040209807 A1 Cont of | US 2003-745069 20031223 |
| US 20040214772 A1 Cont of | US 2003-745069 20031223 |
| US 20050002927 A1 CIP of | US 2003-745069 20031223 |
| US 7157426 B2 Cont of | US 2003-745069 20031223 |
| US 7186692 B2 CIP of | US 2003-745069 20031223 |
| US 7186691 B2 | US 2003-745069 20031223 |

US 20070129299 A1 Cont of
 US 20040209807 A1
 US 7157426 B2
 US 20070129299 A1 Div Ex
 US 20040214772 A1
 US 20050002927 A1
 US 7186692 B2
 JP 2006516262 W
 KR 2005101158 A
 MX 2005006572 A1
 NO 2005003430 A
 IN 2005001373 P2
 US 20070129299 A1
 US 7229966 B2 CIP of
 US 7229966 B2 Provisional
 US 7229966 B2 Provisional
 US 7229966 B2 Provisional
 US 7229966 B2 Provisional
 US 7229966 B2 Provisional
 US 7229966 B2 Cont of
 US 7229966 B2

US 2003-745069 20031223
 US 2004-768288 20040130
 US 2004-768288 20040130
 US 2004-768288 20040130
 US 2004-780325 20040217
 US 2004-869649 20040616
 US 2004-869649 20040616
 JP 2005-502646 20031217
 KR 2005-709727 20050530
 MX 2005-6572 20050617
 NO 2005-3430 20050714
 IN 2005-KN1373 20050715
 US 2006-467509 20060825
 US 2002-322266 20021217
 US 2003-493226P 20030807
 US 2003-501170P 20030908
 US 2003-510785P 20031010
 US 2003-517290P 20031104
 US 2003-518812P 20031110
 US 2003-745069 20031223
 US 2004-780325 20040217

FILING DETAILS:

| PATENT NO | KIND | | PATENT NO | |
|----------------|------|----------|---------------|---|
| AU 2003299722 | A1 | Based on | WO 2004056314 | A |
| EP 1581245 | A2 | Based on | WO 2004056314 | A |
| BR 2003016685 | A | Based on | WO 2004056314 | A |
| MX 2005006572 | A1 | Based on | WO 2004056314 | A |
| JP 2006516262 | W | Based on | WO 2004056314 | A |
| KR 2005101158 | A | Based on | WO 2004056314 | A |
| US 20070129299 | A1 | Div ex | US 7157426 | B |
| US 20070129299 | A1 | CIP of | US 7166575 | B |
| US 20070129299 | A1 | Cont of | US 7186691 | B |

PRIORITY APPLN. INFO: US 2003-518812P 20031110
 US 2002-322266 20021217
 US 2003-493226P 20030807
 US 2003-501170P 20030908
 US 2003-510785P 20031008
 US 2003-517290P 20031104
 US 2003-510785P 20031010
 WO 2003-US40538 20031217
 US 2003-745069 20031223
 US 2004-768288 20040130
 US 2004-869649 20040616
 US 2004-780325 20040217

AN 2004-525318 [50] WPIDS
 CR 2004-478989; 2005-638763
 AB WO 2004056314 A2 UPAB: 20060203

NOVELTY - A transmucosal Y2 receptor-binding peptide formulation (I) capable of raising the concentration of the Y2 receptor-binding peptide in the plasma of a mammal by at least 5 pmole per liter of plasma or more when a dose containing at least 50 micrograms of the Y2 receptor-binding agonist or when 100 microliters of the formulation is administered transmucosally or intranasally, respectively to the mammal.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

(1) an intranasal formulation (II) comprised of a PYY peptide capable of raising the concentration of PYY in the plasma of an individual by at least 5 pmole per liter of plasma or more when a dose containing at least 50 micrograms of the PYY is administered intranasally to the individual;

(2) an aqueous Y2 receptor-binding peptide formulation (III) comprising:

(a) a Y2 receptor-binding peptide, water and a solubilizing agent, where the formulation is substantially free of a stabilizer that is a polypeptide or a protein;

(b) Y2 receptor-binding peptide, water, a chelating agent and one or more polyol, where the pH of formulation is 3-6.5;

(c) a Y2 receptor-binding peptide, water, chelating agent and a solubilizing agent;

(d) Y2 receptor-binding peptide, water, chelating agent and a surface-active agent;

(e) water, Y2 receptor-binding peptide, one or more polyol and a surface active agent;

(f) water, Y2 receptor-binding peptide, one or more polyol and the solubilizing agent;

(g) water, Y2 receptor-binding peptide, solubilizing agent and the surface-active agent;

(h) water, Y2 receptor-binding peptide, solubilizing agent, one or more polyol and the surface-active agent;

(i) water, Y2 receptor-binding peptide, and a chelating agent; or

(j) Y2 receptor-binding peptide, and a carrier, where the formulation has 1% higher permeation in an in vitro issue permeation assay than a control formulation consisting of water, sodium chloride, buffer and Y2 receptor-binding peptide; and

(3) a pharmaceutical formulation (IV) comprising an endotoxin-free Y2-receptor binding peptide suitable for non-infused administration, where the binding peptide is in a sufficient quantity to produce a weight loss of 2.5 pounds after daily administration for at least 10 days.

ACTIVITY - Anorectic; Cytostatic; Anti-diabetic; Neuroprotective; Nootropic; Eating disorders-Gen.

The PYY nasal formulation was prepared by mixing the reagents cholorbutanol, methyl-beta-cyclodextrin, L-alpha-phosphatidylcholine didecanoyl, edetate disodium, sodium citrate, citric acid, endotoxin-free PYY3-36, and purified water. One or two sprays of the formulation was administered daily to a human subject over 10 day period and a weight loss of 2.5 pounds was recorded. During periods ranging from 10 minutes to 12 hours after administration the subject recorded reduced hunger.

MECHANISM OF ACTION - Y2 receptor-binding agonist (claimed).

USE - (I) is useful for the production of an intranasal medicament for the treatment of obesity or to induce weight-loss in a mammal, where the medicament is capable of raising the concentration of the Y2 receptor-binding peptide in the plasma of a mammal by at least 5 pmole per liter of plasma or more when a dose containing at least 50 micrograms of the Y2 receptor-binding agonist is administered intranasally to the mammal. The medicament further comprises at least one transmucosal delivery agent. The Y2 receptor-agonist is a human sequence and the mammal is a human. (I) is also useful for the production of a medicament comprised of the Y2 receptor-binding peptide, where the Y2 receptor-binding peptide is administered as a spray, where the spray has droplets of size 10-100 microns, and where the spray is able to raise the concentration of the Y2 receptor-binding peptide in the plasma of mammal by at least 5, preferably 40 pmoles per liter when 100 microliters of the spray is administered intranasally to a human. (IV) is also useful for preventing the onset or progression of diabetes, cancer, malnutrition or wasting related to cancer in a mammal, or to alleviate one or more symptoms of obesity, and for treating Alzheimer's disease, colon carcinoma, colon adenocarcinoma, pancreatic carcinoma, pancreatic adenocarcinoma, breast carcinoma.

DESCRIPTION OF DRAWINGS - The figure is a graph representing PYY plasma concentration as pmol/L v.time for five groups of healthy volunteers receiving intranasal PYY (3-36).

ACCESSION NUMBER: 2003-748093 [70] WPIDS
 DOC. NO. CPI: C2003-205008 [70]
 DOC. NO. NON-CPI: N2003-599678 [70]
 TITLE: High-throughput spectrophotometric measurement of membrane permeability and membrane retention of compound involves determining relative concentration of final donor and acceptor solution by comparing their spectrophotometric properties
 DERWENT CLASS: A96; B04; B05; S03
 INVENTOR: AVDEEF A; DU C M; NIELSEN P E; DU CHAU M
 PATENT ASSIGNEE: (PION-N) PION INC
 COUNTRY COUNT: 28

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|----|----------|
| WO 2003065037 | A2 | 20030807 | (200370)* | EN | 50 | [14] |
| US 20030219716 | A1 | 20031127 | (200378) | EN | | |
| EP 1521962 | A2 | 20050413 | (200525) | EN | | |
| US 7022528 | B2 | 20060404 | (200624) | EN | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|----------------|-----------------|----------|
| WO 2003065037 | A2 | WO 2003-US2095 | 20030123 |
| US 20030219716 | A1 Provisional | US 2002-353914P | 20020131 |
| EP 1521962 | A2 | EP 2003-713278 | 20030123 |
| US 20030219716 | A1 | US 2003-351263 | 20030123 |
| EP 1521962 | A2 | WO 2003-US2095 | 20030123 |
| US 7022528 | B2 Provisional | US 2002-353914P | 20020131 |
| US 7022528 | B2 | US 2003-351263 | 20030123 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|------------|-------------|-----------------|
| EP 1521962 | A2 Based on | WO 2003065037 A |

PRIORITY APPLN. INFO: US 2002-353914P 20020131
 US 2003-351263 20030123

AN 2003-748093 [70] WPIDS

AB WO 2003065037 A2 UPAB: 20060120

NOVELTY - High-throughput spectrophotometric measurement of the membrane permeability and membrane retention of a compound involves determining relative concentration of the final donor and acceptor solution by comparing their measured spectrophotometric properties, and determining the membrane permeability of the compound.

DETAILED DESCRIPTION - High-throughput spectrophotometric measurement of the membrane permeability and membrane retention of a compound involves:

(a) preparing a sample solution of the compound in an aqueous sample buffer of known pH and separating the sample solution from any precipitate, (the separated solution constitutes a reference solution);

(b) preparing an initial donor solution of the compound, by placing an aliquot of the reference solution in a donor compartment, (the donor compartment is on one side of a membrane barrier);

(c) placing an initial acceptor solution in an acceptor compartment; the acceptor compartment is on the second side of the barrier, in which the acceptor solution comprises a buffer of known pH and at least one additive; the additive possess high capacity to bind the compound, low UV absorption, high water solubility and low vapor pressure;

(d) preparing a donor-blank solution free of the compound, or its composition as the reference solution;

(e) preparing an acceptor-blank solution of the composition as the initial acceptor solution;

(f) measuring a spectrophotometric property of the reference, donor-blank and acceptor-blank solutions at the start of the assay;

(g) measuring a spectrophotometric property of the final donor and final acceptor solutions after at least one half hour from the start of the assay;

(h) determining the relative concentration of the final donor and acceptor solutions by comparing the measured spectrophotometric property of the final acceptor, final donor, reference, acceptor-blank and donor-blank solutions; and

(i) determining the membrane permeability of the compound using the equation (I).

$R = \text{membrane retention calculated from the equation } 1 - \frac{(CD(t) + CA(t) \cdot VA/VD)}{CD(0)}$;

$ra = (VD/VA) (Pe(A)/Pe(D))$ (disclosed);

A = area of filter (cm²) (disclosed);

t = time (disclosed);

tss = steady-state time (disclosed);

VA = acceptor volume (cm³) (disclosed);

VD = donor volumes (cm³) (disclosed);

CA(t) = acceptor sample concentration (mol cm⁻³) at time t;

CD(t) = donor sample concentration (mol cm⁻³) at time t;

D = permeability in the direction donor-to-acceptor (disclosed);

and

CD(0) = initial donor sample concentration (cm⁻³) (disclosed).

An INDEPENDENT CLAIM is included for a device for measuring membrane permeability of chemical compounds. The device comprises of robotic liquid handling system, microtiter plate scanning UV spectrophotometer, pH titrator device, microtiter plate vacuum filtration manifold, microtiter plate washer, microtiter plate orbital shaker, at least four precision syringe dispensers, at least four dispenser arms positioned by the robot anywhere on the worktable of the liquid handling system, wash station and waste trough, two rack holders for pipet tips (200 micro-l), used-tip collector, stock sample microtiter plate, plastic UV microtiter plate, deep-well microtiter plate for reference aqueous solutions, parallel artificial membrane permeability assay (PAMPA) sandwich containing a stack of two vertically-aligned and contacting microtiter plates with the top plate being a filter microtiter plate and the bottom plate being an ordinary microtiter plate, environmental chamber for the PAMPA sandwich, four test tubes filled with acceptor sink solution, test tube for standardized NaOH titrant, phospholipid holder tube, electrode wash station, titration vessel with a magnetic stir bar and a magnetic stir motor underneath, and test tube for storing the electrode.

USE - For use in compound selection and optimization in pharmaceutical and biotechnology research and development; and for identifying active compounds with right plant distribution properties in agrochemical research and development.

ADVANTAGE - The method does not require knowledge or measurement of the molar absorptivity of the compound relating, and a calibration curve known concentrations of the compound to spectrophotometric properties of the compound. The method quickly and accurately determines membrane retention of a test compound. The pharmaceutical applications using the phospholipid based membrane broader the application e.g. agrochemical field and in general chemical applications related to permeability assessment.

DOC. NO. NON-CPI: N2003-296527 [35]
 TITLE: Multipurpose kit for screening compounds with low water solubility and assessing biological properties, has composition comprising homogeneous solutions, dispersions and suspension of at least one common component
 DERWENT CLASS: A89; B04; D16; S03
 INVENTOR: LEIGH M L S; LEIGH S; TIEMESSEN H; VAN HOOGEVEST P
 PATENT ASSIGNEE: (PHAR-N) PHARES PHARM RES NV
 COUNTRY COUNT: 98

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---------------|------|----------|-----------|----|-------|----------|
| WO 2003023394 | A2 | 20030320 | (200335)* | EN | 30[0] | |
| AU 2002342680 | A1 | 20030324 | (200461) | EN | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|------|-----------------|----------|
| WO 2003023394 | A2 | WO 2002-EP10241 | 20020912 |
| AU 2002342680 | A1 | AU 2002-342680 | 20020912 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---------------|------|--------------------------|
| AU 2002342680 | A1 | Based on WO 2003023394 A |

PRIORITY APPLN. INFO: EP 2001-307787 20010912

AN 2003-371758 [35] WPIDS
 AB WO 2003023394 A2 UPAB: 20050529

NOVELTY - A multipurpose kit (I) for screening compounds with low water solubility and analyzing for physicochemical and biological properties of the compounds, comprising preparing one or more compositions comprising homogeneous solutions, dispersions or suspensions of at least one common component which has the potential and capacity to solubilize, or form molecular associates and/or water or a water-miscible organic solvent, is new.

DETAILED DESCRIPTION - A multipurpose kit (I) for screening compounds with low water solubility and analyzing for physicochemical and biological properties of the compounds which involves preparing one or more compositions comprising homogeneous solutions, dispersions or suspensions of, at least one common component which has the potential and capacity to solubilize, or form molecular associates, water or a water-miscible organic solvent, or their mixtures, and:

(a) forming a solution, or molecular associates by mixing the compositions with a test material with low water solubility and, optionally after dilution with water, analyzing the physicochemical properties; and/or

(b) forming a solution, or molecular associates by mixing with a test material with low water solubility and, optionally after dilution with an aqueous medium, adding the compositions to cell models, cell lines or compounds of living organism and analyzing the physicochemical properties, and/or forming a solution, or molecular associated by mixing with a test material with low water solubility and, optionally after dilution with an aqueous medium, administering the composition to living organisms and analyzing the biological properties.

USE - (I) is useful for screening compounds with low water solubility to identify desired physicochemical and biological properties of the compounds, and for mutually identifying test materials and components with desired physicochemical or biological properties, or both.

The kit is useful for in situ, in vitro and/or in vivo tests. (All claimed.) The kit is useful for screening materials for pharmacokinetic and other biological properties for intravenous, intramuscular, subcutaneous, oral, topical or any other route of administration to a living organism.

ADVANTAGE - The kit provides for a particularly suitable, non toxic vehicle to screen for pharmaceutical properties such as bioavailability. The kit bridges the requirements between in vitro, in vivo and tests on living organisms by employing common components in a vehicle to carry out the various tests while maintaining the compound in a mono molecular state. It avoids the need to develop separate and different vehicles to carry out the numerous tests, thus accelerating development timelines and reducing costs. The kit not only simplifies screening but it also helps to select lead compounds, components and compositions more efficiently.

L2 ANSWER 16 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2003-787424 [74] WPIDS
DOC. NO. CPI: C2003-217318 [74]
TITLE: Pharmaceutical composition useful for treating sepsis
comprises 5'-monophosphate ester of riboflavin,
riboflavin, and optionally an excipient
DERWENT CLASS: A96; B03
INVENTOR: GROBIN A; HIRD G; LAMBERT B; ONAI K; PULLEN S
PATENT ASSIGNEE: (GROB-I) GROBIN A; (HIRD-I) HIRD G; (LAMB-I) LAMBERT B;
(ONAI-I) ONAI K; (PULL-I) PULLEN S
COUNTRY COUNT: 1

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|----|----------|
| US 20030162751 | A1 | 20030828 | (200374)* | EN | 14 | [3] |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|------|---------------|----------|
| US 20030162751 | A1 | US 2001-24877 | 20011219 |

PRIORITY APPLN. INFO: US 2001-24877 20011219

AN 2003-787424 [74] WPIDS

AB US 20030162751 A1 UPAB: 20050601

NOVELTY - A pharmaceutical composition comprises 5'-monophosphate ester of riboflavin (FMN) (a), riboflavin (b), and optionally an excipient (c) to solubilize (b).

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

(1) a kit comprising a drug delivery vehicle containing at least two compartments; and a device for combining and delivering the contents of the two compartments. The first compartment comprises (a) and the second compartment comprises a diluent; and

(2) a pharmaceutical composition comprising (a) and optionally an excipient.

ACTIVITY - Antibacterial; Immunosuppressive.

MECHANISM OF ACTION - None given.

USE - For treating sepsis.

ADVANTAGE - (a) is photostable and hence at higher concentrations it improves the photostability of the composition. The equilibrium solubility of (b) is greater than 70 (preferably 100-2000, especially 200-1500, particularly 300-1000) micro g/ml. The composition provides water soluble and stable form of riboflavin, thus can be administered easily.

L2 ANSWER 17 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
 ACCESSION NUMBER: 2003-802018 [75] WPIDS
 DOC. NO. CPI: C2003-221540 [75]
 TITLE: Pharmaceutical composition useful for the treatment of
 sepsis comprises a solubilized form of riboflavin
 DERWENT CLASS: A96; B02; B07
 INVENTOR: HIRD G; LAMBERT B
 PATENT ASSIGNEE: (HIRD-I) HIRD G; (LAMB-I) LAMBERT B
 COUNTRY COUNT: 1

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|-------|----------|
| US 20030161871 | A1 | 20030828 | (200375)* | EN | 11[2] | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|------|---------------|----------|
| US 20030161871 | A1 | US 2001-24876 | 20011219 |

PRIORITY APPLN. INFO: US 2001-24876 20011219

AN 2003-802018 [75] WPIDS
 AB US 20030161871 A1 UPAB: 20050601
 NOVELTY - A composition comprises a solubilized form of riboflavin and optionally a solubilizing agent.
 DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a drug delivery vehicle comprising at least two compartments. The first compartment comprising riboflavin and optionally a solubilizing agent and the second compartment comprising a diluent and/or optionally a solubilizing agent; and a device for combining and delivering the contents of both the compartments.
 ACTIVITY - Antibacterial; Immunosuppressive.
 No biological details given.
 MECHANISM OF ACTION - None given.
 USE - As immunopotentiating and infection preventing agents; and for treating sepsis.
 ADVANTAGE - The riboflavin has an equilibrium solubility of approximately 70 mcg/ml.

L2 ANSWER 18 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
 ACCESSION NUMBER: 2004-106493 [11] WPIDS
 DOC. NO. CPI: C2004-043210 [11]
 TITLE: Treatment of sepsis involves use of high dosage of
 riboflavin or its derivatives
 DERWENT CLASS: A96; B02
 INVENTOR: ARAKI S; KATO A; ONAI K
 PATENT ASSIGNEE: (ARAK-I) ARAKI S; (KATO-I) KATO A; (ONAI-I) ONAI K
 COUNTRY COUNT: 1

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|------|----------|
| US 20030143265 | A1 | 20030731 | (200411)* | EN | 7[0] | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|------|---------------|----------|
| US 20030143265 | A1 | US 2001-25032 | 20011219 |

PRIORITY APPLN. INFO: US 2001-25032 20011219

AN 2004-106493 [11] WPIDS

AB US 20030143265 A1 UPAB: 20050528

NOVELTY - Treatment of sepsis involves administration of a composition comprising riboflavin or its derivatives with a dosage of greater than 1.8 (preferably 1.9 - 40, especially 3 - 20, particularly 5 - 9) mg/kg/day.

ACTIVITY - Antibacterial; Immunosuppressive.

MECHANISM OF ACTION - None given.

USE - For treating sepsis (claimed).

L2 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:613749 CAPLUS

DOCUMENT NUMBER: 140:169388

TITLE: Enhanced bioavailability of process-induced fast-dissolving ibuprofen cogranulated with β -cyclodextrin

AUTHOR(S): Ghorab, Mohamed K.; Adeyeye, Moji Christianah

CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Duquesne University, Pittsburgh, PA, 15282, USA

SOURCE: Journal of Pharmaceutical Sciences (2003), 92(8), 1690-1697

CODEN: JPMSAE; ISSN: 0022-3549

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The objectives of this study were to evaluate the bioavailability of cogranulated and oven-dried ibuprofen (IBU) and β -cyclodextrin (β CD), in comparison to a phys. mixture, and to examine the effect of endogenous bile on the bioavailability of the drug. In vitro dissoln. studies were performed using USP type 2 apparatus The granules and phys. mixture

were administered perorally in a crossover fashion, to male Wistar bile duct-nonligated rats. The granules were also perorally administered to bile duct-ligated rats. Blood samples were taken at different time intervals and the plasma analyzed for IBU. Dissoln. of granules was faster than the phys. mixture due to faster IBU- β CD complex formation in solution from the former than the latter. The in vivo study showed that Cmax, AUC0-8, and the absolute bioavailability for the granules (49.0 μ g/mL, 57.0 h \cdot μ g/mL and 80.6%, resp.) were almost one and half times that of the phys. mixture (32.2 μ g/mL, 38.4 h \cdot μ g/mL and 53.1%, resp.). However, in bile duct-ligated rats, lower Cmax and AUC0-8 (15.9 μ g/mL and 14.4 h \cdot μ g/mL, resp.) were obtained for the granules. Phase solubility study of IBU in an aqueous β CD solution in the presence of the bile salt (sodium cholate), showed an increase in the solubility of IBU. Moreover, the stability constant value for the IBU- β CD complex was also found to decrease as the sodium cholate concentration increased. These results indicated that the enhancement in the bioavailability of IBU was due to faster in-solution complex formation, and micellar solubilization by the bile salt.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 20 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER: 2003-229242 [22] WPIDS

DOC. NO. CPI: C2003-058810 [22]

TITLE: Pharmaceutical composition useful for treating e.g. pain comprises a local anesthetic agent and a nonliposomal carrier

DERWENT CLASS: A96; B05; B07; P34

INVENTOR: BIRUDARAJ R; CLEARY C J; CLEARY G W; MUDUMBA S; PARANDOOSH S; PARK P

PATENT ASSIGNEE: (BIRU-I) BIRUDARAJ R; (CLEA-I) CLEARY C J; (CLEA-I) CLEARY G W; (CORI-N) CORIUM INT; (MUDU-I) MUDUMBA S;

COUNTRY COUNT: (PARA-I) PARANDOOSH S; (PARK-I) PARK P
98

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|-------|----------|
| WO 2002089849 | A1 | 20021114 | (200322)* | EN | 38[3] | |
| US 20030027833 | A1 | 20030206 | (200322) | EN | | |
| AU 2002309699 | A1 | 20021118 | (200452) | EN | | |
| US 20050152957 | A1 | 20050714 | (200547) | EN | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|----------------|-----------------|----------|
| WO 2002089849 | A1 | WO 2002-US14725 | 20020507 |
| US 20030027833 | A1 Provisional | US 2001-289403P | 20010507 |
| US 20050152957 | A1 Provisional | US 2001-289403P | 20010507 |
| AU 2002309699 | A1 | AU 2002-309699 | 20020507 |
| US 20030027833 | A1 | US 2002-141496 | 20020507 |
| US 20050152957 | A1 Cont of | US 2002-141496 | 20020507 |
| US 20050152957 | A1 | US 2005-77593 | 20050310 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---------------|-------------|-----------------|
| AU 2002309699 | A1 Based on | WO 2002089849 A |

PRIORITY APPLN. INFO: US 2001-289403P 20010507
US 2002-141496 20020507
US 2005-77593 20050310

AN 2003-229242 [22] WPIDS

AB WO 2002089849 A1 UPAB: 20060119

NOVELTY - A pharmaceutical composition comprises a local anesthetic agent (A) and a nonliposomal carrier (B) selected from monohydric alcohol (B1), a penetration enhancer (B2) or a polymer (B3) selected from hydrophilic polymers and/or hydrophobic polymers.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for a drug delivery system in the form of a laminated composite for topical administration of a local anesthetic agent comprising: a drug reservoir layer comprising the composition and a backing layer laminated to the drug reservoir layer that serves as the outer surface of the system following application to a patient's body surface.

ACTIVITY - Analgesic; Antiarthritic; Antiallergic; Antimigraine; Vulnerary; Antipruritic; Virucide.

MECHANISM OF ACTION - None given.

USE - For treating and preventing pain including cold sore, canker sore, gum sore, gum injury, toothache, cough, sore throat, insect bite, muscle pain, arthritis, allergic reaction, rash, itch, blister, sore nail, corn, mechanical puncture, laser treatment, breakthrough pain, migraine, neuropathic pain and anginal pain. The composition is also useful for the treatment of burns, wounds and scrapes.

ADVANTAGE - The composition provides rapid penetration of the active ingredient into the skin and provides rapid onset of local anesthesia within 30 (preferably 10) minutes of after application to a patient's body surface. The local anesthetic activity is provided for at least 4 (preferably 6) hours following topical administration.

L2 ANSWER 21 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2003-407237 [39] WPIDS
DOC. NO. CPI: C2003-108459 [39]

TITLE: Manufacturing double coated Lactobacillus raw material using protein and polysaccharide, by processes such as protein enzymatic-decomposition, lactobacillus fermentation, primary protein coating, and secondary coating

DERWENT CLASS: B04; D16

INVENTOR: CHOO E; CHUNG M J; KIM S; KO U

PATENT ASSIGNEE: (CHUN-I) CHUNG M; (CHUN-I) CHUNG M J

COUNTRY COUNT: 2

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---------------|------|----------|-----------|----|------|----------|
| JP 2002320473 | A | 20021105 | (200339)* | JA | 9[4] | |
| KR 2002069863 | A | 20020905 | (200339) | KO | | |
| KR 429495 | B | 20040503 | (200458) | KO | | |
| JP 3720780 | B2 | 20051130 | (200578) | JA | 10 | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|------|---------------|----------|
| JP 2002320473 | A | JP 2002-54821 | 20020228 |
| KR 2002069863 | A | KR 2001-10397 | 20010228 |
| KR 429495 | B | KR 2001-10397 | 20010228 |
| JP 3720780 | B2 | JP 2002-54821 | 20020228 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|------------|------|-------------------------------|
| JP 3720780 | B2 | Previous Publ JP 2002320473 A |
| KR 429495 | B | Previous Publ KR 2002069863 A |

PRIORITY APPLN. INFO: KR 2001-10397 20010228

AN 2003-407237 [39] WPIDS

AB JP 2002320473 A UPAB: 20060202

NOVELTY - Manufacturing double coated Lactobacillus raw material, involving isolating protein from soybean and solution of skim milk powder, adding glucose, yeast extract, meat extract, and ion component to liquid, carrying out fermentation process by cultivating Lactobacillus, separating microbial cells, coating cells with freezing protective agent and polysaccharide, and freeze-drying the cells, is new.

DETAILED DESCRIPTION - Manufacturing the double coated Lactobacillus raw material using the protein and polysaccharide, involves isolating protein from soybean and/or 1-10 weight% (weight%) aqueous solution of skim milk powder by performing enzymatic-decomposition with protease to get 0.01-1 weight% of the protein with respect to the total weight of the protein in aqueous solution, adding glucose (1-5 weight%), yeast extract (0.1-1.5 weight%), meat extract (0.1-1.5 weight%), and ion component (0.01-0.1 weight%) to the enzyme treated liquid, carrying out fermentation process by cultivating Lactobacillus after carrying out steam sterilization with a fermentation pipe, separating microbial cells by centrifuging the fermentation liquid, primary coating of cells with 1-10 weight% of freezing protective agent components present in an aqueous solution mixed with 1-10 weight% of polysaccharide components present in polysaccharide aqueous solution with respect to microbial cells, and secondary coating by performing freeze-dry process.

ACTIVITY - Immunostimulant. No biological data given.

MECHANISM OF ACTION - None given.

USE - For manufacturing double coated Lactobacillus raw material useful for promoting activation of intestinal-tract motility, harmful

microbe suppression, as a vitamin and an immunostimulation material.

ADVANTAGE - The versatility and compatibility of the method is large. The method produces double coated Lactobacillus having improved heat-resistance, shelf life (i.e. the survival rate of the cells is 50-90%), bile-proof property, and delayed intestinal release. The method is rapid, inexpensive, and improves the recovery of a Lactobacillus raw material.

L2 ANSWER 22 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2004-064822 [07] WPIDS
DOC. NO. CPI: C2004-026801 [07]
DOC. NO. NON-CPI: N2004-052448 [07]
TITLE: Ink composition for recording device, contains organic compound and organic pigment having volume average particle diameter from maximum to minimum wavelength of desired absorption wavelength range in spectrum
DERWENT CLASS: A97; G02; P75; T04
INVENTOR: INOUE T; NISHIGAKI S
PATENT ASSIGNEE: (SHAF-C) SHARP KK
COUNTRY COUNT: 1

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---------------|------|----------|-----------|----|-------|----------|
| JP 2002285058 | A | 20021003 | (200407)* | JA | 22[3] | |
| JP 3891828 | B2 | 20070314 | (200721) | JA | 30 | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|------|----------------|----------|
| JP 2002285058 | A | JP 2001-346465 | 20011112 |
| JP 3891828 | B2 | JP 2001-346465 | 20011112 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO. |
|------------|------------------|-----------------|
| JP 3891828 | B2 Previous Publ | JP 2002285058 A |

PRIORITY APPLN. INFO: JP 2001-10437 20010118

AN 2004-064822 [07] WPIDS
AB JP 2002285058 A UPAB: 20050528

NOVELTY - An ink composition contains amorphous color organic pigment and organic compound having hydrophilic and hydrophobic portions in the molecule, as main component. The organic pigment has volume average particle diameter of 1/10 of minimum wavelength from 1/4 of maximum wavelength of the desired absorption wavelength range in spectral reflective spectrum.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a recording device has ink composition containing a yellow pigment, a magenta pigment and a cyan pigment.

USE - For recording device (claimed) and record of an inkjet recording system.

ADVANTAGE - The pigment in the ink composition has good adhesion with the fiber of paper and has excellent durability. The ink composition has excellent water-proof, light resistance and fretting resistance, with no spread with respect to the copy-paper record. The storage stability of the ink composition is increased.

L2 ANSWER 23 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2001-581696 [65] WPIDS

CROSS REFERENCE: 2000-161245
 DOC. NO. CPI: C2001-172382 [65]
 TITLE: Clear aqueous solutions used to treat gastritis and liver disease, comprises bile acid derivative, aqueous soluble starch conversion product or polysaccharide and water
 DERWENT CLASS: A96; B05; D21
 INVENTOR: YOO S H
 PATENT ASSIGNEE: (YOOS-I) YOO S H; (YOOS-I) YOO S
 COUNTRY COUNT: 93

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|--------|----------|
| WO 2001056547 | A2 | 20010809 | (200165)* | EN | 82[11] | |
| AU 2001036685 | A | 20010814 | (200173) | EN | | |
| US 20020031558 | A1 | 20020314 | (200222) | EN | | |
| EP 1255566 | A2 | 20021113 | (200282) | EN | | |
| KR 2002084109 | A | 20021104 | (200320) | KO | | |
| US 20030186933 | A1 | 20031002 | (200365) | EN | | |
| CN 1450914 | A | 20031022 | (200406) | ZH | | |
| JP 2004500378 | W | 20040108 | (200410) | JA | 145 | |
| IN 2002000865 | P1 | 20050121 | (200534) | EN | | |
| IN 2002000873 | P1 | 20050121 | (200534) | EN | | |
| BR 2001008080 | A | 20060207 | (200612) | PT | | |
| RU 2277913 | C2 | 20060620 | (200643) | RU | | |
| AU 2001236685 | B2 | 20060518 | (200681) | EN | | |
| US 7166299 | B2 | 20070123 | (200708) | EN | | |
| AU 2006203315 | A1 | 20060824 | (200711)# | EN | | |
| US 20070072828 | A1 | 20070329 | (200725) | EN | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|----------------|-----------------|----------|
| WO 2001056547 | A2 | WO 2001-US3745 | 20010205 |
| US 20020031558 | A1 Provisional | US 1998-94069P | 19980724 |
| US 20030186933 | A1 Provisional | US 1998-94069P | 19980724 |
| US 7166299 | B2 Provisional | US 1998-94069P | 19980724 |
| US 20020031558 | A1 CIP of | US 1999-357549 | 19990720 |
| US 20030186933 | A1 Div Ex | US 1999-357549 | 19990720 |
| US 7166299 | B2 CIP of | US 1999-357549 | 19990720 |
| US 20020031558 | A1 Provisional | US 2000-180268P | 20000204 |
| US 20030186933 | A1 Provisional | US 2000-180268P | 20000204 |
| US 7166299 | B2 Provisional | US 2000-180268P | 20000204 |
| AU 2001036685 | A | AU 2001-36685 | 20010205 |
| AU 2001236685 | B2 | AU 2001-236685 | 20010205 |
| AU 2006203315 | A1 Div Ex | AU 2001-236685 | 20010205 |
| BR 2001008080 | A | BR 2001-8080 | 20010205 |
| CN 1450914 | A | CN 2001-804549 | 20010205 |
| EP 1255566 | A2 | EP 2001-908862 | 20010205 |
| JP 2004500378 | W | JP 2001-556239 | 20010205 |
| US 20020031558 | A1 | US 2001-778154 | 20010205 |
| US 20030186933 | A1 Div Ex | US 2001-778154 | 20010205 |
| US 7166299 | B2 Div Ex | US 2001-778154 | 20010205 |
| EP 1255566 | A2 | WO 2001-US3745 | 20010205 |
| JP 2004500378 | W | WO 2001-US3745 | 20010205 |
| IN 2002000865 | P1 | WO 2001-US3745 | 20010205 |
| IN 2002000873 | P1 | WO 2001-US3745 | 20010205 |
| BR 2001008080 | A | WO 2001-US3745 | 20010205 |
| RU 2277913 | C2 | WO 2001-US3745 | 20010205 |
| RU 2277913 | C2 | RU 2002-123352 | 20010205 |
| KR 2002084109 | A | KR 2002-709885 | 20020731 |

| | |
|-------------------------------|--------------------------|
| IN 2002000865 P1 | IN 2002-DN865 20020902 |
| IN 2002000873 P1 | IN 2002-DN873 20020904 |
| US 20030186933 A1 | US 2002-309603 20021204 |
| US 7166299 B2 | US 2002-309603 20021204 |
| AU 2006203315 A1 | AU 2006-203315 20060803 |
| US 20070072828 A1 Provisional | US 1998-94069P 19980724 |
| US 20070072828 A1 CIP of | US 1999-357549 19990720 |
| US 20070072828 A1 Provisional | US 2000-180268P 20000204 |
| US 20070072828 A1 CIP of | US 2001-778154 20010205 |
| US 20070072828 A1 CIP of | US 2004-996945 20041124 |
| US 20070072828 A1 | US 2006-522162 20060915 |

FILING DETAILS:

| PATENT NO | KIND | | PATENT NO | |
|----------------|------|----------|---------------|---|
| US 20020031558 | A1 | CIP of | US 6251428 | B |
| US 20030186933 | A1 | Div ex | US 6251428 | B |
| US 7166299 | B2 | CIP of | US 6251428 | B |
| AU 2001036685 | A | Based on | WO 2001056547 | A |
| EP 1255566 | A2 | Based on | WO 2001056547 | A |
| JP 2004500378 | W | Based on | WO 2001056547 | A |
| BR 2001008080 | A | Based on | WO 2001056547 | A |
| RU 2277913 | C2 | Based on | WO 2001056547 | A |
| AU 2001236685 | B2 | Based on | WO 2001056547 | A |
| US 20070072828 | A1 | CIP of | US 6251428 | B |

| | | |
|-----------------------|-----------------|----------|
| PRIORITY APPLN. INFO: | US 2000-180268P | 20000204 |
| | US 1998-94069P | 19980724 |
| | US 1999-357549 | 19990720 |
| | WO 2001-US3745 | 20010205 |
| | US 2001-778154 | 20010205 |
| | US 2002-309603 | 20021204 |
| | AU 2006-203315 | 20060803 |
| | US 2004-996945 | 20041124 |
| | US 2006-522162 | 20060915 |

AN 2001-581696 [65] WPIDS
 CR 2000-161245
 AB WO 2001056547 A2 UPAB: 20060117

NOVELTY - Clear aqueous solutions comprise (a) a bile acid, an aqueous soluble derivative of a bile acid, a bile acid salt and/or a bile acid conjugated with an amine by an amide linkage; (b) an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide; and (c) water, in which (a) and (b) both remain in solution for all pH values of the solution within a selected pH range.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

(1) methods for preparing aqueous solutions that form no detectable precipitate at any pH value within a selected range by dissolving a bile acid, bile acid salt or bile acid-amine conjugate in water to form a clear solution, adding at least one aqueous soluble non-starch polysaccharide to the clear solution and allowing it to dissolve to form a clear solution and optionally adding a pharmaceutically effective amount of a pharmaceutical;

(2) a clear aqueous solution comprising (a) as above; (b') a polysaccharide with at least one reducing end and at least one non-reducing end; and (c) water; and

(3) a clear aqueous solution comprising (a) as above; (b) as above; (c) water; and (d) an aqueous soluble bismuth compound.

ACTIVITY - Hepatotropic; protozoacide; litholytic; cytostatic; antilipemic; virucide; antiinflammatory; fungicide; antibacterial; antiulcer.

MECHANISM OF ACTION - None given.

USE - The solutions are used to treat gastritis, peptic ulcer

disease, liver disease, gall stones, colorectal adenoma and hyperlipidemia (all claimed). They may be used to treat gastrointestinal disorders including chronic gastritis, reflux gastritis and peptic ulcer disease, liver diseases including alcohol-induced liver diseases, non-alcohol-induced liver diseases including primary biliary cirrhosis, acute and chronic hepatitis, primary sclerosing cholangitis, chronic active hepatitis and excess accumulation of fat in the liver, viral, bacterial and fungal diseases such as to treat and/or eradicate *Helicobacter pylori* infection, hepatitis C virus infection, influenza A, influenza C, parainfluenza 1, sendai, rubella and pseudorabies virus, and to treat as acute and chronic inflammatory diseases such as bronchitis, chronic pharyngitis and chronic tonsillitis.

ADVANTAGE - The solutions do not precipitate over a range of pH values.

L2 ANSWER 24 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
 ACCESSION NUMBER: 2001-482923 [52] WPIDS
 DOC. NO. CPI: C2001-144662 [52]
 TITLE: Freeze dried oral composition useful for the treatment of migraine comprises at least one active substance in a form of a water soluble and water dispersible carrier material to form an open matrix network
 DERWENT CLASS: A96; B05
 INVENTOR: KHADGAPATHI P; KHADGAPATHI P D; RAO P V; VENKATESWARA RAO P; VENKATESWARA RAO P M; VENKATESWARA R P
 PATENT ASSIGNEE: (NATC-N) NATCO PHARMA LTD
 COUNTRY COUNT: 90

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|----------------|------|----------|-----------|----|-------|----------|
| WO 2001039836 | A1 | 20010607 | (200152)* | EN | 27[0] | |
| AU 2001020234 | A | 20010612 | (200154) | EN | | |
| EP 1246668 | A1 | 20021009 | (200267) | EN | | |
| US 20050084530 | A1 | 20050421 | (200528) | EN | | |
| EP 1246668 | B1 | 20051130 | (200579) | EN | | |
| DE 60024491 | E | 20060105 | (200612) | DE | | |
| DE 60024491 | T2 | 20060810 | (200654) | DE | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|------------|----------------|----------|
| WO 2001039836 | A1 | WO 2000-IN78 | 20000825 |
| DE 60024491 | E | DE 2000-624491 | 20000825 |
| EP 1246668 | A1 | EP 2000-983475 | 20000825 |
| EP 1246668 | B1 | EP 2000-983475 | 20000825 |
| DE 60024491 | E | EP 2000-983475 | 20000825 |
| EP 1246668 | A1 | WO 2000-IN78 | 20000825 |
| US 20050084530 | A1 Cont of | WO 2000-IN78 | 20000825 |
| EP 1246668 | B1 | WO 2000-IN78 | 20000825 |
| DE 60024491 | E | WO 2000-IN78 | 20000825 |
| AU 2001020234 | A | AU 2001-20234 | 20000825 |
| US 20050084530 | A1 Cont of | US 2002-148647 | 20020530 |
| US 20050084530 | A1 | US 2004-984227 | 20041029 |
| DE 60024491 | T2 | DE 2000-624491 | 20000825 |
| DE 60024491 | T2 | EP 2000-983475 | 20000825 |
| DE 60024491 | T2 | WO 2000-IN78 | 20000825 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|-----------|------|-----------|
|-----------|------|-----------|

| | | | | |
|---------------|----|----------|---------------|---|
| DE 60024491 | E | Based on | EP 1246668 | A |
| AU 2001020234 | A | Based on | WO 2001039836 | A |
| EP 1246668 | A1 | Based on | WO 2001039836 | A |
| EP 1246668 | B1 | Based on | WO 2001039836 | A |
| DE 60024491 | E | Based on | WO 2001039836 | A |
| DE 60024491 | T2 | Based on | EP 1246668 | A |
| DE 60024491 | T2 | Based on | WO 2001039836 | A |

PRIORITY APPLN. INFO: IN 1999-CH1160 19991201

AN 2001-482923 [52] WPIDS

AB WO 2001039836 A1 UPAB: 20060202

NOVELTY - A freeze dried oral composition comprises at least one active substance(s); a water soluble and water dispersible carrier material in an open matrix network, an optional coadministered active substance and/or other exceptients.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a process for preparation of a composition comprising: adding active substance to a solution/suspension of the water soluble or water dispersing carrier material to form the open matrix network; optionally adding other additives; transferring the resultant solution/suspension to a mold of the desired shape and a size of a final product; freezing the product in a freeze dryer at -50 - 10degreesC; and re-drying at -40 - 90degreesC under vacuum of 1x10⁻² - 7.5x1⁻¹ torr.

ACTIVITY - Antimigraine.

MECHANISM OF ACTION - None given.

USE - The invention is used for the treatment of migraine and migraine associated symptoms (claimed).

ADVANTAGE - The composition has: a rapid onset of action due to the rapid absorption of the active substance through oral mucosa, thus eliminates the need for parenteral administration of the medicament for crisis management; reduced dosage of the drugs as absorption through oral mucosa bypasses the first-pass metabolism and overcomes possible degradation in the gastro-intestinal tract; easy to administer to pediatric and geriatric patients; and as a medicament can be taken without water. Thus it can be administered in a non threatening, painless and simple way. The composition is suitable for patients who have difficulty in swallowing solid doses form.

L2 ANSWER 25 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER: 2000-161245 [14] WPIDS

CROSS REFERENCE: 2001-581696

DOC. NO. CPI: C2000-050510 [14]

TITLE: Aqueous solution comprising a bile acid, soluble derivative, bile acid salt or bile acid conjugated with an amine and a soluble starch conversion product does precipitate over a wide range of pH

DERWENT CLASS: B05; D21

INVENTOR: YOO S H; HONG Y S

PATENT ASSIGNEE: (YOOS-I) YOO S H

COUNTRY COUNT: 85

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---------------|------|----------|-----------|----|-------|----------|
| WO 2000004875 | A2 | 20000203 | (200014)* | EN | 45[0] | |
| AU 9950819 | A | 20000214 | (200029) | EN | | |
| US 6251428 | B1 | 20010626 | (200138) | EN | | |
| EP 1113785 | A2 | 20010711 | (200140) | EN | | |
| BR 9912395 | A | 20011016 | (200170) | PT | | |
| KR 2001074748 | A | 20010809 | (200211) | KO | | |
| CN 1348360 | A | 20020508 | (200253) | ZH | | |

| | | | | |
|----------------|----|-------------------|----|----|
| JP 2002522357 | W | 20020723 (200263) | JA | 47 |
| AU 758679 | B | 20030327 (200330) | EN | |
| RU 2224523 | C2 | 20040227 (200425) | RU | |
| EP 1113785 | B1 | 20050413 (200525) | EN | |
| DE 69924740 | E | 20050519 (200535) | DE | |
| US 20050158408 | A1 | 20050721 (200548) | EN | |
| DE 69924740 | T2 | 20050901 (200559) | DE | |
| ES 2238843 | T3 | 20050901 (200561) | ES | |
| CN 1205922 | C | 20050615 (200643) | ZH | |
| IL 140986 | A | 20060801 (200670) | EN | |
| KR 524358 | B | 20051026 (200680) | KO | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------|----------------|-----------------|----------|
| WO 2000004875 | A2 | WO 1999-US12840 | 19990720 |
| US 6251428 | B1 Provisional | US 1998-94069P | 19980724 |
| US 20050158408 | A1 Provisional | US 1998-94069P | 19980724 |
| AU 9950819 | A | AU 1999-50819 | 19990720 |
| AU 758679 | B | AU 1999-50819 | 19990720 |
| BR 9912395 | A | BR 1999-12395 | 19990720 |
| CN 1348360 | A | CN 1999-810147 | 19990720 |
| CN 1205922 | C | CN 1999-810147 | 19990720 |
| DE 69924740 | E | DE 1999-624740 | 19990720 |
| DE 69924740 | T2 | DE 1999-624740 | 19990720 |
| EP 1113785 | A2 | EP 1999-935313 | 19990720 |
| EP 1113785 | B1 | EP 1999-935313 | 19990720 |
| DE 69924740 | E | EP 1999-935313 | 19990720 |
| DE 69924740 | T2 | EP 1999-935313 | 19990720 |
| ES 2238843 | T3 | EP 1999-935313 | 19990720 |
| IL 140986 | A | IL 1999-140986 | 19990720 |
| US 6251428 | B1 | US 1999-357549 | 19990720 |
| US 20050158408 | A1 CIP of | US 1999-357549 | 19990720 |
| EP 1113785 | A2 | WO 1999-US12840 | 19990720 |
| BR 9912395 | A | WO 1999-US12840 | 19990720 |
| JP 2002522357 | W | WO 1999-US12840 | 19990720 |
| RU 2224523 | C2 | WO 1999-US12840 | 19990720 |
| EP 1113785 | B1 | WO 1999-US12840 | 19990720 |
| DE 69924740 | E | WO 1999-US12840 | 19990720 |
| DE 69924740 | T2 | WO 1999-US12840 | 19990720 |
| JP 2002522357 | W | JP 2000-560868 | 19990720 |
| RU 2224523 | C2 | RU 2001-105906 | 19990720 |
| KR 2001074748 | A | KR 2001-701037 | 20010122 |
| US 20050158408 | A1 CIP of | US 2001-778154 | 20010205 |
| US 20050158408 | A1 | US 2004-996945 | 20041124 |
| KR 524358 | B | WO 1999-US12840 | 19990720 |
| KR 524358 | B | KR 2001-701037 | 20010122 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|----------------|-----------------|-----------------|
| AU 758679 | B Previous Publ | AU 9950819 A |
| DE 69924740 | E Based on | EP 1113785 A |
| DE 69924740 | T2 Based on | EP 1113785 A |
| ES 2238843 | T3 Based on | EP 1113785 A |
| US 20050158408 | A1 CIP of | US 6251428 B |
| AU 9950819 | A Based on | WO 2000004875 A |
| EP 1113785 | A2 Based on | WO 2000004875 A |
| BR 9912395 | A Based on | WO 2000004875 A |
| JP 2002522357 | W Based on | WO 2000004875 A |
| AU 758679 | B Based on | WO 2000004875 A |

| | | | | |
|-------------|----|---------------|---------------|---|
| RU 2224523 | C2 | Based on | WO 2000004875 | A |
| EP 1113785 | B1 | Based on | WO 2000004875 | A |
| DE 69924740 | E | Based on | WO 2000004875 | A |
| DE 69924740 | T2 | Based on | WO 2000004875 | A |
| IL 140986 | A | Based on | WO 2000004875 | A |
| KR 524358 | B | Previous Publ | KR 2001074748 | A |
| KR 524358 | B | Based on | WO 2000004875 | A |

PRIORITY APPLN. INFO: US 1998-94069P 19980724
 US 1999-357549 19990720
 US 2001-778154 20010205
 US 2004-996945 20041124

AN 2000-161245 [14] WPIDS

CR 2001-581696

AB WO 2000004875 A2 UPAB: 20060116

NOVELTY - An aqueous solution comprising:

(a) a bile acid, soluble derivative, bile acid salt or bile acid conjugated with an amine;

(b) a high molecular weight aqueous soluble starch conversion product; and

(c) water is new.

The solution does not form a precipitate at any pH within a selected range.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a method of preparing the solution.

USE - The solution is useful orally, as an enema, mouthwash or gargle, for nasal or otic administration or as an injection, douche, topical skin preparation or cosmetic preparation.

ADVANTAGE - The solution prevents precipitation of the bile acid and promotes rapid and complete absorption.

L2 ANSWER 26 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN

ACCESSION NUMBER: 2000-476842 [42] WPIDS

DOC. NO. CPI: C2000-143392 [42]

TITLE: Process for preparing medical particles Qingkailing by wrapping chololic acid and animal extract with cyclodextrin

DERWENT CLASS: B04

INVENTOR: GUAN Q; WANG X

PATENT ASSIGNEE: (HARB-N) HARBIN YIZHOU PHARM CO LTD YUANDA PHARM;
 (YIZH-N) YIZHOU PHARM CO LTD CHINA FOREIGN JOINT

COUNTRY COUNT: 1

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|------------|------|----------|-----------|----|-----|----------|
| CN 1247078 | A | 20000315 | (200042)* | ZH | [0] | |
| CN 1101184 | C | 20030212 | (200535) | ZH | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|--------------|------|----------------|----------|
| CN 1247078 A | | CN 1999-112844 | 19990412 |

PRIORITY APPLN. INFO: CN 1999-112844 19990412

AN 2000-476842 [42] WPIDS

AB CN 1247078 A UPAB: 20060116

Granular medicine 'Qingkailing' is prepared through adding bile acid to alcohol, regulating pH value to 9 with sodium hydroxide, dissolving, adding betacyclodextrin to obtain coating material, hydrolyzing buffalo horn and nacre, concentrating to obtain

extract, adding the coating material, the extracts of capejasmine fruit, isatis root and honeysuckle flower and astragalus, granulating and drying. Its advantages are high biologic utilization rate and good taste.

L2 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:105252 CAPLUS
DOCUMENT NUMBER: 126:114493
TITLE: Response to Commentary on "Hydroxypropyl Cyclodextrins: Potential Synergism with Carcinogens"
AUTHOR(S): Pitha, Josef
CORPORATE SOURCE: Baltimore, MD, 21224, USA
SOURCE: Journal of Pharmaceutical Sciences (1997), 86(3), 403-404
CODEN: JPMSAE; ISSN: 0022-3549
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A polemic in response to H. Van Cauteren et al. (ibid. 1997). Hydroxypropyl β - cyclodextrin solubilize toxicants and make them available for absorption by a mechanism which is addnl. to bile-assisted absorption and occurs before entry of bile into the gastrointestinal tract. Other misunderstandings were also cited.

L2 ANSWER 28 OF 35 MEDLINE on STN

DUPLICATE 2

ACCESSION NUMBER: 96402452 MEDLINE
DOCUMENT NUMBER: PubMed ID: 8926593
TITLE: Hydroxypropyl cyclodextrins: potential synergism with carcinogens.
AUTHOR: Horsky J; Pitha J
CORPORATE SOURCE: National Institutes of Health, NIA/GRC, Baltimore, MD 21224, USA.
SOURCE: Journal of pharmaceutical sciences, (1996 Jan) Vol. 85, No. 1, pp. 96-100.
Journal code: 2985195R. ISSN: 0022-3549.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199610
ENTRY DATE: Entered STN: 19 Dec 1996
Last Updated on STN: 6 Feb 1998
Entered Medline: 25 Oct 1996

AB The solubility of the lipophilic carcinogens benzo[a]pyrene and aflatoxin B1 in water increases linearly and substantially with the concentration of hydroxypropyl beta-cyclodextrin present. Results of a kinetic study of naphthalene, a model for more potent carcinogens, indicate that the increase in the dissolution rate and in the transport through the aqueous phase into a nonpolar phase is on the same order of magnitude as the increase in solubility. Consequently, hydroxypropyl beta-cyclodextrin, when used in pharmaceutical formulations, has the potential to increase the absorption of carcinogens which enter the gastrointestinal tract either as food components or from air pollution through saliva. Only the above mechanism's simple proportionality needs be considered for estimating the increases in carcinogen absorption in the upper gastrointestinal tract and in the colon. In the presence of bile, however, additional factors are involved and the proportionality does not apply. Bile micelles, which themselves are effective solubilizers of lipophilic carcinogens, were disrupted by hydroxypropyl beta-cyclodextrin because of the formation of complexes with bile salts. Thus, in the presence of bile, two systems for delivery of carcinogens may coexist: that of cotransport with lipids and that of delivery through solubilization by hydroxypropyl beta-cyclodextrin.

L2 ANSWER 29 OF 35 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on
STN DUPLICATE 3

ACCESSION NUMBER: 1995:218173 BIOSIS
DOCUMENT NUMBER: PREV199598232473
TITLE: The cholesterol lowering effect of steroid sequestrants is modulated by large intestine fermentations.
AUTHOR(S): Moundras, Corinne [Reprint author]; Demigne, Christian; Mazur, Andrzej; Remesy, Christian
CORPORATE SOURCE: Laboratoire des Maladies Metaboliques, I.N.R.A. de Clermont-Ferrand/Theix, 63122 St. Genes-Champanelle, France
SOURCE: Journal of Nutritional Biochemistry, (1995) Vol. 6, No. 3, pp. 158-162.
CODEN: JNBIEL. ISSN: 0955-2863.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 31 May 1995
Last Updated on STN: 1 Jun 1995

AB The cholesterol lowering effect of steroid sequestering compounds, such as cholestyramine or beta-cyclodextrin, has been examined to assess the respective importance of bile acids excretion and the fermentation process. In contrast to cholestyramine, beta-cyclodextrin is metabolized by the large intestine microflora yielding short chain fatty acids (SCFA), especially propionic acid which is absorbed in the portal vein and metabolized by the liver. beta-cyclodextrin was less potent than cholestyramine at elevating the fecal excretion of bile acids and depressing soluble bile acids in the large intestine but only the former compound was definitely hypocholesterolemic. Changes in circulating lipoproteins (depressed HDL1 and apoE abundance) were observed only in the beta-cyclodextrin-fed group. Cholestyramine was more potent than beta-cyclodextrin to induce the activity of hepatic HMG CoA reductase or cholesterol 7-alpha-hydroxylase, whereas that of fatty acid synthase (FAS) was depressed only in the beta-cyclodextrin group. It appears that fermentable bile acid sequestrants are the most effective at depressing plasma cholesterol, probably in relation to the capacity of fermentation end-products to counteract the up-regulation of bile acids and cholesterol biosynthesis.

L2 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:68886 CAPLUS
DOCUMENT NUMBER: 120:68886
TITLE: Safety of oral cyclodextrins: Effects of (hydroxypropyl)cyclodextrins, cyclodextrin sulfates and cationic cyclodextrins on steroid balance in rats
AUTHOR(S): Gerloczy, Andrea; Hoshino, Teruhiko; Pitha, Josef
CORPORATE SOURCE: Cyclolab, Budapest, H1525, Hung.
SOURCE: Journal of Pharmaceutical Sciences (1994), 83(2), 193-6
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Derivs. of β -cyclodextrin differing in the length of a hydroxyalkyl substituent [(CH₂)₂OH, CH₂CHOHMe, CH₂CHOH(CH₂)₃Me], or in the elec. charge of the substituents [SO₄⁻, CH₂CHOHCH₂NMe⁺] of α -, β -, and γ -cyclodextrins were compared, individually and in mixts., as solubilizers of cholesterol. The most effective solubilizers were hydroxypropyl derivs. of β -cyclodextrin; β -cyclodextrin sulfate (SO₄⁻) was practically devoid of solubilizing activity. Oral administration of these cyclodextrin derivs., some of which are both nondegradable and effective complexation agents for cholesterol and bile acids, nevertheless did not affect the conversion of [14C]acetic acid to [14C]cholesterol in rat under the same conditions when another bile acid complexation agent, cholestyramine, increased that conversion. Thus,

complexation of cholesterol and of bile acids by cyclodextrin derivs., which is a significant and well-defined phenomenon in vitro, seems to have limited importance in terms of excretion of cholesterol from the gastrointestinal tract. It is proposed that various untoward effects observed after chronic large oral doses of (hydroxypropyl) β -cyclodextrin are administered are not caused by an increased excretion of some vital lipophile or enzyme but are probably caused by solubilization and increased absorption of toxic contaminants of the ingested food.

L2 ANSWER 31 OF 35 MEDLINE on STN DUPLICATE 4
ACCESSION NUMBER: 92235577 MEDLINE
DOCUMENT NUMBER: PubMed ID: 1569391
TITLE: Bile acid and sterol solubilization in
2-hydroxypropyl-beta-cyclodextrin.
AUTHOR: De Caprio J; Yun J; Javitt N B
CORPORATE SOURCE: Division of Hepatic Diseases, New York University Medical
Center 10016.
CONTRACT NUMBER: DK32995 (NIDDK)
SOURCE: Journal of lipid research, (1992 Mar) Vol. 33, No. 3, pp.
441-3.
Journal code: 0376606. ISSN: 0022-2275.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199205
ENTRY DATE: Entered STN: 12 Jun 1992
Last Updated on STN: 12 Jun 1992
Entered Medline: 28 May 1992

AB The use of 2-hydroxypropyl-beta-cyclodextrin has made it possible to prepare stable aqueous solutions of cholesterol, 26-hydroxycholesterol, 7 alpha-hydroxycholesterol, and monohydroxy bile acids such as lithocholic and 3 beta-hydroxy-5-cholenoic acids. These solutions are suitable for cell culture studies and for parenteral administration to animals.

L2 ANSWER 32 OF 35 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN DUPLICATE 5
ACCESSION NUMBER: 1991:275842 BIOSIS
DOCUMENT NUMBER: PREV199192008457; BA92:8457
TITLE: A COMPARISON OF CHOLESTYRAMINE AND DIETHYLAMINOETHYL-
DEXTRAN FOR THE TREATMENT OF HYPERLIPIDEMIA AND PRURITUS OF
PRIMARY BILIARY CIRRHOSIS.
AUTHOR(S): ZUIN M [Reprint author]; GRNDINETTI G; CAMISASCA M; BOGA E;
RAVIZZA L; MOLteni P; ZERMIANI P; PODDA M
CORPORATE SOURCE: DEP INTERN MED, OSPEDALE S PAOLO, VIA DI RUDINI 8, MILANO,
ITALY
SOURCE: Current Therapeutic Research, (1991) Vol. 49, No. 4, pp.
659-665.
CODEN: CTCEA9. ISSN: 0011-393X.
DOCUMENT TYPE: Article
FILE SEGMENT: BA
LANGUAGE: ENGLISH
ENTRY DATE: Entered STN: 13 Jun 1991
Last Updated on STN: 13 Aug 1991

AB The aim of our study was to evaluate the effects of pruritus and hyperlipoproteinemia and the tolerability of diethylaminoethyl-dextran (DEAE-D), a nonabsorbable, water-soluble bile acid sequestrant resin, in patients with primary biliary cirrhosis (PBC). Thirty patients were randomly allocated to two groups: 15 patients were treated with cholestyramine (8 to 16 gm/day) and 15 with DEAE-D (4 to 6 gm/day) for two months. All patients treated with DEAE-D

completed the trial period, whereas four patients on cholestyramine discontinued the drug because of gastrointestinal complaints during the first week. In these patients DEAE-D was then given without any further side effects. Disappearance of pruritus occurred in 45% of patients who completed the treatment with cholestyramine and in 37% with DEAE-D. Both drugs induced a remarkable decrease in the semiquantitative measure of intensity of pruritus, serum bile acid concentration, and total cholesterol. No change was observed on high-density lipoprotein cholesterol levels. No other significant differences between treatment groups were observed. We conclude that in PBC DEAE-D is as effective as cholestyramine, but is better tolerated. Therefore, its administration should be considered in the treatment of pruritus and hyperlipidemia in patients with chronic cholestasis.

L2 ANSWER 33 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
 ACCESSION NUMBER: 1987-230750 [33] WPIDS
 DOC. NO. CPI: C1987-097296 [21]
 TITLE: Bile acid mixture for internal use - contains specific
 amts. of bile acid and dextrin(s)
 DERWENT CLASS: B04
 INVENTOR: KUNO S; NAKAZAWA S
 PATENT ASSIGNEE: (TANB-C) TOKYO TANABE CO
 COUNTRY COUNT: 1

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|-------------|------|----------|-----------|----|------|----------|
| JP 62153220 | A | 19870708 | (198733)* | JA | 7[0] | |
| JP 04065051 | B | 19921016 | (199246) | JA | 7 | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|-------------|------|----------------|----------|
| JP 62153220 | A | JP 1985-292933 | 19851227 |
| JP 04065051 | B | JP 1985-292933 | 19851227 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|-------------|----------|-------------|
| JP 04065051 | Based on | JP 62153220 |

PRIORITY APPLN. INFO: JP 1985-292933 19851227

AN 1987-230750 [33] WPIDS

AB JP 62153220 A UPAB: 20060105

The weight ratio of dextrans to bile acid is more than 30 and dextrans content is less than 35% (W/W). Pref. bile acids are ursodeoxycholic acid and chenodeoxycholic acid. Pref. dextrans are amyloextrin, erythroextrin and maltodextrin.

When the weight ratio of dextrans to bile acid is less than 30, bile acid is not soluble enough in water and the bitterness masking effect is not shown. When the dextrin content is more than 35%, bile acid is also not soluble enough in water.

USE/ADVANTAGE - By using dextrans bile acid becomes soluble in water and the bitter taste of bile acid disappears. Bile acid is used as a cholagogue.

Member(0002)

ABEQ JP 92065051 B UPAB 20060105

The wt. ratio of dextrans to bile acid is more than 30 and

dextrins content is less than 35% (W/W). Pref. bile acids are ursodeoxycholic acid and chenodeoxycholic acid. Pref. dextrins are amyloextrin, erythroextrin and maltodextrin.

When the wt. ratio of dextrins to bile acid is less than 30, bile acid is not soluble enough in water and the bitterness masking effect is not shown. When the dextrin content is more than 35%, bile acid is also not soluble enough in water.

USE/ADVANTAGE - By using dextrins bile acid becomes soluble in water and the bitter taste of bile acid disappears. Bile acid is used as a cholagogue. (J62153220-A)

L2 ANSWER 34 OF 35 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 1980-22937C [13] WPIDS
TITLE: Bile acid clathrate cpds. with beta-cyclodextrin - are water soluble and used to prepare injectable cholagogic compsns.
DERWENT CLASS: B04
INVENTOR: KAWAGISHI Y
PATENT ASSIGNEE: (TANB-C) TOKYO TANABE CO
COUNTRY COUNT: 1

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|-------------|------|----------|-----------|----|----|----------|
| JP 55022616 | A | 19800218 | (198013)* | JA | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|-------------|------|---------------|----------|
| JP 55022616 | A | JP 1978-94600 | 19780804 |

PRIORITY APPLN. INFO: JP 1978-94600 19780804

AN 1980-22937C [13] WPIDS

AB JP 55022616 A UPAB: 20060103

Clathrate cpds. of bite acid with beta-cyclodextrin are new. The bile acid may be cholic acid, dehydrocholic acid, deoxycholic acid, chenodeoxycholic acid or ursodeoxycholic acid.

Bile acid is useful as a cholagogue but the acid has low solubility in water. The present clathrate cpds. are water-soluble and give solns. stable at all pH's. Used to prepare injectable compsns.

L2 ANSWER 35 OF 35 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER: 1936:1320 BIOSIS

DOCUMENT NUMBER: PREV19361000001324; BA10:1324

TITLE: An investigation of the causal agent of bovine pleuropneumonia.

AUTHOR(S): TANG, F. F.; WEI, H.; McWHIRTER, D. L.; EDGAR, J.

SOURCE: JOUR PATH AND BACT, (1935) Vol. 40, No. 2, pp. 391-406.

DOCUMENT TYPE: Article

FILE SEGMENT: BA

LANGUAGE: Unavailable

ENTRY DATE: Entered STN: May 2007

Last Updated on STN: May 2007

AB Cultured on artificial media, the development of freshly isolated strains goes through 5 stages: (1) The resting stage or stage of elementary bodies, consisting of rings, granules and a few diplococ-coid and bacillary bodies; (2) the filamentous stage, consisting of filaments from the dendritic protrusions of the elementary bodies; (3) the stage of ramification, consisting of branching and sub-branching filaments; (4) the

stage of chain formation, consisting of chains of various types; (5) the stage of disintegration, in which the chains are broken up into elementary bodies. The virus was bile-soluble, susceptible to ether and capable of fermenting glucose, fructose, maltose, mannose, sucrose, trehalose, and dextrin. Fresh cultures reduced Hb, a property which decreased with age. Goats and a water buffalo were susceptible to experimental inoculation, whereas mice, hamsters, rabbits, rats, guinea-pigs, and cats were not. Serologically no distinction could be made out among the different strains studied. ABSTRACT AUTHORS: Auth. abst

=> d his

(FILE 'HOME' ENTERED AT 10:00:29 ON 19 JUL 2007)

FILE 'MEDLINE, BIOSIS, CAPLUS, SCISEARCH, EMBASE, WPIDS' ENTERED AT 10:01:03 ON 19 JUL 2007

L1 48 BILE (S) (DEXTRIN OR ?DEXTRIN OR DEXTRAN) (S) (SOLUBLE OR SOLUB
L2 35 DUP REM L1 (13 DUPLICATES REMOVED)
E YOO SEO?/AU
L3 15 E1
L4 15 DUP REM L3 (0 DUPLICATES REMOVED)
L5 35 L2 NOT L3

=> d ibib abs 14 1-15

L4 ANSWER 1 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN
ACCESSION NUMBER: 2007:117611 BIOSIS
DOCUMENT NUMBER: PREV200700115853
TITLE: Preparation of aqueous clear solution dosage forms with
bile acids.
AUTHOR(S): Anonymous; Yoo, Seo Hong [Inventor]
CORPORATE SOURCE: Wyckoff, NJ 07481 USA
PATENT INFORMATION: US 07166299 20070123
SOURCE: Official Gazette of the United States Patent and Trademark
Office Patents, (JAN 23 2007)
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 14 Feb 2007
Last Updated on STN: 14 Feb 2007

AB Compositions for pharmaceutical and other uses comprising clear aqueous solutions of bile acids which do not form any detectable precipitates over selected ranges of pH values of the aqueous solution and methods of making such solutions. The compositions of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for all pH values obtainable in an aqueous system. The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount. Non-limiting examples of pharmaceutical compounds include insulin, heparin, bismuth compounds, amantadine and rimantadine.

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:359020 CAPLUS
DOCUMENT NUMBER: 146:330827
TITLE: Bile preparations for colorectal disorders
INVENTOR(S): Yoo, Seo Hong
PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 24pp., Cont.-in-part of U.S.
Ser. No. 996,945.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2007072828 | A1 | 20070329 | US 2006-522162 | 20060915 |
| US 6251428 | B1 | 20010626 | US 1999-357549 | 19990720 |
| US 2002031558 | A1 | 20020314 | US 2001-778154 | 20010205 |
| US 2005158408 | A1 | 20050721 | US 2004-996945 | 20041124 |
| AU 2004325203 | A1 | 20060601 | AU 2004-325203 | 20041124 |
| AU 2006203315 | A1 | 20060824 | AU 2006-203315 | 20060803 |

PRIORITY APPLN. INFO.:
US 1998-94069P P 19980724
US 1999-357549 A2 19990720
US 2000-180268P P 20000204
US 2001-778154 A2 20010205
US 2004-996945 A2 20041124
AU 2001-36685 A3 20010205
WO 2004-US39507 A 20041124

AB The present disclosure relates to methods and compns. to ameliorate or treat at least one symptom of colorectal cancer and/or adenomatous polyposis coli (APC). For example, some embodiments of the methods and compns. may reduce recurrence of colorectal adenomas and/or extend the life of a subject having colorectal cancer and/or APC. Some embodiments of the disclosure include maintaining a the total body weight in a subject having colorectal cancer and/or APC. According to some embodiments, a method of the disclosure may include administering a bile acid composition to a subject. A bile acid composition may include, in some embodiments, an aqueous solution that is free or substantially free of ppts. or particles. A aqueous solution may include (1) a bile acid, an aqueous soluble derivative of a bile acid, a bile acid salt, and/or 7-ketolithocholic acid, (2) a carbohydrate, and (3) water. An aqueous composition may further include an alkali.

L4 ANSWER 3 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER: 2006:356896 BIOSIS

DOCUMENT NUMBER: PREV200600361912

TITLE: Preparation of aqueous clear solution dosage forms with bile acids.

AUTHOR(S): Yoo, Seo Hong [Inventor]

CORPORATE SOURCE: Wyckoff, NJ 07481 USA

PATENT INFORMATION: US 07018650 20060328

SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (MAR 28 2006)
CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent

LANGUAGE: English

ENTRY DATE: Entered STN: 19 Jul 2006

Last Updated on STN: 19 Jul 2006

AB Compositions for pharmaceutical and other uses comprising clear aqueous solutions of bile acids which do not form any detectable precipitates over selected ranges of pH values of the aqueous solution and methods of making such solutions. The compositions of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for all pH values obtainable in an aqueous system.

The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount. Non-limiting examples of pharmaceutical compounds include insulin, heparin, bismuth compounds, amantadine and rimantadine.

L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:437475 CAPLUS
DOCUMENT NUMBER: 144:460856
TITLE: Methods and compositions using a bile acid and a carbohydrate for reducing neurodegeneration in amyotrophic lateral sclerosis or other neurodegenerative disease
INVENTOR(S): Yoo, Seo Hong
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2006050165 | A2 | 20060511 | WO 2005-US39089 | 20051031 |
| WO 2006050165 | A3 | 20060706 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2005302452 | A1 | 20060511 | AU 2005-302452 | 20051031 |
| CA 2585471 | A1 | 20060511 | CA 2005-2585471 | 20051031 |
| US 2006142241 | A1 | 20060629 | US 2005-263087 | 20051031 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 2004-624100P | P 20041101 |
| | | | US 2004-628421P | P 20041116 |
| | | | WO 2005-US39089 | W 20051031 |

AB The invention discloses clear aqueous solns. of one or more bile acids and either an aqueous soluble starch conversion product or a non-starch polysaccharide. The solns. may be administered to a subject in conjunction with a pharmaceutical compound having a therapeutic effect in subjects with a neurodegenerative disease and/or a motor neuron disease. In some embodiments, the disease is amyotrophic lateral sclerosis.

L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:388376 CAPLUS
DOCUMENT NUMBER: 144:419716
TITLE: Methods and compositions for reducing toxicity of a pharmaceutical
INVENTOR(S): Yoo, Seo Hong
PATENT ASSIGNEE(S): Yoo, Seo, Hong, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2006044771 | A2 | 20060427 | WO 2005-US37211 | 20051014 |
| WO 2006044771 | A3 | 20070329 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2005295541 | A1 | 20060427 | AU 2005-295541 | 20051014 |
| CA 2584184 | A1 | 20060427 | CA 2005-2584184 | 20051014 |
| PRIORITY APPLN. INFO.: | | | US 2004-619199P | P 20041015 |
| | | | WO 2005-US37211 | W 20051014 |

AB The present disclosure is related to clear aqueous solns. of 1 or more bile acids and either an aqueous soluble starch conversion product or a non-starch polysaccharide. Solns. of the disclosure may be administered to a subject in conjunction with a pharmaceutical compound having one or more toxic effects. In some embodiments, solns. of the disclosure are administered to a mammal in conjunction with a pharmaceutical compound associated with a peripheral neurotoxicity (e.g., cisplatin and/or suramin) to reduce or eliminate the neuropathic effect(s). A stock solution of bile acid was prepared by first dissolving UDCA (60 g) in 500 mL NaOH (6.7 g) solution Next, to the resulting clear solution, 375 g maltodextrin was added.

L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:218244 CAPLUS
 DOCUMENT NUMBER: 144:267304
 TITLE: Neuroprotective effect of solubilized UDCA in focal ischemic model
 INVENTOR(S): Yoo, Seo Hong
 PATENT ASSIGNEE(S): USA
 SOURCE: PCT Int. Appl., 48 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2006026555 | A2 | 20060309 | WO 2005-US30679 | 20050830 |
| WO 2006026555 | A3 | 20060713 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2005279961 | A1 | 20060309 | AU 2005-279961 | 20050830 |

| | | | | |
|---------------|----|----------|-----------------|----------|
| CA 2577268 | A1 | 20060309 | CA 2005-2577268 | 20050830 |
| US 2006051319 | A1 | 20060309 | US 2005-215701 | 20050830 |
| EP 1789057 | A2 | 20070530 | EP 2005-792858 | 20050830 |

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.:
US 2004-605566P P 20040830
US 2004-629998P P 20041122
WO 2005-US30679 W 20050830

AB The disclosure provides compns. and methods for treating, ameliorating, or relieving at least one symptom associated with loss of blood flow to the brain including, without limitation, ischemic stroke. Compns. of the disclosure may comprise a bile acid compound and a carbohydrate, wherein both materials remain in solution for all pH values of the solution within a selected range of pH values. Symptoms may include infarct volume, functional recovery, apoptosis, and/or eNOS expression.

L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:853003 CAPLUS
DOCUMENT NUMBER: 145:256208
TITLE: Bile preparations for gastrointestinal disorders
INVENTOR(S): Yoo, Seo Hong
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 16pp., Cont.-in-part of U.S. Ser. No. 251,137.

CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| US 2006188530 | A1 | 20060824 | US 2006-373554 | 20060310 |
| US 2006089331 | A1 | 20060427 | US 2005-251137 | 20051014 |
| WO 2007044062 | A1 | 20070419 | WO 2006-US8925 | 20060310 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |

PRIORITY APPLN. INFO.:
US 2004-619199P P 20041015
US 2005-251137 A2 20051014

AB The present disclosure relates to methods and compns. to offset, ameliorate and/or alleviate one or more unwanted and/or adverse gastrointestinal effects. For example, in some embodiments, the present disclosure relates to compns. that include a bile acid, a carbohydrate and/or a pharmaceutical compound, wherein the pharmaceutical is associated with an adverse gastrointestinal effect in a subject (e.g., mammal or human). Non-limiting examples of pharmaceutical compds. may include a nonsteroidal anti-inflammatory drug, a gastric irritating drug (e.g., an antibiotic, an adrenal corticoid steroid and an anti-cancer drug) and combinations thereof. The disclosure further relates to methods of ameliorating or eliminating at least one adverse gastrointestinal effects of a composition, comprising administering to a subject an aqueous solution comprising a bile acid and a carbohydrate. An aqueous solution of solubilized ursodeoxycholic acid

(3 α -7 β -dihydroxy-5 β -cholanic acid) completely blocked gastrointestinal injury such as hemorrhage, ulcer, edema and vacuole by a gastrointestinal irritant, e.g. acidic alc.

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1109822 CAPLUS

DOCUMENT NUMBER: 145:426054

TITLE: Clear aqueous solution comprising bile acid and ginseng extract capable of maintaining solution state throughout whole range of ph value and method for preparing thereof

INVENTOR(S): Yoo, Seo Hong

PATENT ASSIGNEE(S): USA

SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given
CODEN: KRXXA7

DOCUMENT TYPE: Patent

LANGUAGE: Korean

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| KR 2006030125 | A | 20060410 | KR 2004-78626 | 20041004 |
| PRIORITY APPLN. INFO.: | | | KR 2004-78626 | 20041004 |

AB A clear aqueous solution comprising bile acid and ginseng extract is provided to

allow the bile acid to keep the aqueous solution state at any pH range and show increased steroid effect of the bile acid and main ingredients of the ginseng. The aqueous solution comprises a first material selected from the group

consisting of bile acids, water-soluble derivs. thereof, salts thereof and bile acids amide-bound with amine, wherein the bile acids are alkali metal salts or amine salts, a second material including water-soluble saccharified starch product having a high mol. weight, a third material including water-soluble ginseng extract, and water. The method comprises the steps of: (a) dissolving at least one material selected from the group consisting of bile acids, water-soluble derivs. thereof, salts thereof and bile acids amide-bound with amine in water to form a clear aqueous solution; (b)

dissolving

a saccharified starch product having a high mol. weight in the clear aqueous solution; and (c) after adding water-soluble ginseng extract and water-soluble fiber

to the product obtained from the step (b), adjusting balance by adding water thereto.

L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:638534 CAPLUS

DOCUMENT NUMBER: 143:139190

TITLE: Dried forms of aqueous solubilized bile acid dosage formulation

INVENTOR(S): Yoo, Seo Hong

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 37 pp., Cont.-in-part of U.S. Ser. No. 778,154.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2005158408 | A1 | 20050721 | US 2004-996945 | 20041124 |

| | | | | |
|------------------------|----|----------|-----------------|-------------|
| US 6251428 | B1 | 20010626 | US 1999-357549 | 19990720 |
| US 2002031558 | A1 | 20020314 | US 2001-778154 | 20010205 |
| AU 2004325203 | A1 | 20060601 | AU 2004-325203 | 20041124 |
| US 2007072828 | A1 | 20070329 | US 2006-522162 | 20060915 |
| PRIORITY APPLN. INFO.: | | | US 1998-94069P | P 19980724 |
| | | | US 1999-357549 | A2 19990720 |
| | | | US 2001-778154 | A2 20010205 |
| | | | US 2000-180268P | P 20000204 |
| | | | US 2004-996945 | A2 20041124 |
| | | | WO 2004-US39507 | A 20041124 |

AB Compns. for pharmaceutical and other uses comprising clear aqueous solns. of bile acids which do not form any detectable ppts. over selected ranges of pH values of the aqueous solution and methods of making such solns. are disclosed. Compns. may comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide. The composition remains in solution without forming a precipitate over a range of all pH values obtainable in an aqueous system.

The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount. Compns. contained bile acids, starch conversion products (e.g. Maltrins) and water.

L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:185616 CAPLUS
 DOCUMENT NUMBER: 136:252482
 TITLE: Preparation of aqueous clear solution dosage forms with bile acids
 INVENTOR(S): Yoo, Seo Hong
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U. S. 6,251,428.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 2002031558 | A1 | 20020314 | US 2001-778154 | 20010205 |
| US 6251428 | B1 | 20010626 | US 1999-357549 | 19990720 |
| US 2003186933 | A1 | 20031002 | US 2002-309603 | 20021204 |
| US 7166299 | B2 | 20070123 | | |
| US 2005158408 | A1 | 20050721 | US 2004-996945 | 20041124 |
| AU 2004325203 | A1 | 20060601 | AU 2004-325203 | 20041124 |
| AU 2006203315 | A1 | 20060824 | AU 2006-203315 | 20060803 |
| US 2007072828 | A1 | 20070329 | US 2006-522162 | 20060915 |
| PRIORITY APPLN. INFO.: | | | US 1998-94069P | P 19980724 |
| | | | US 1999-357549 | A2 19990720 |
| | | | US 2000-180268P | P 20000204 |
| | | | AU 2001-36685 | A3 20010205 |
| | | | US 2001-778154 | A3 20010205 |
| | | | US 2004-996945 | A2 20041124 |
| | | | WO 2004-US39507 | A 20041124 |

AB Compns. for pharmaceutical and other uses comprise clear aqueous solns. of bile acids which do not form any detectable ppts. over selected ranges of pH values of the aqueous solution. The compns. comprise (i) water, (ii) a bile acid component in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and (iii) either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch

polysaccharide. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for all pH values obtainable in an aqueous system. The composition may further contain a pharmaceutical compound, such as insulin, heparin, bismuth compds., amantadine and rimantadine. For example, solution dosage forms that did not show any precipitation at any pH were prepared containing ursodeoxycholic acid (UDCA) 22 g, 1N NaOH 75 mL, chenodeoxycholic acid (CDCA) 3 g, maltodextrin 875 g, bismuth citrate 4 g, citric acid or lactic acid as needed, and purified water to make 1 L.

L4 ANSWER 11 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER: 2001:417030 BIOSIS
DOCUMENT NUMBER: PREV200100417030
TITLE: Preparation of aqueous clear solution dosage forms with bile acids.
AUTHOR(S): Yoo, Seo Hong [Inventor, Reprint author]
CORPORATE SOURCE: 537 Spencer Dr., Wyckoff, NJ, 07481, USA
PATENT INFORMATION: US 6251428 20010626
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (June 26, 2001) Vol. 1247, No. 4. e-file. CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 29 Aug 2001
Last Updated on STN: 22 Feb 2002

AB Compositions for pharmaceutical and other uses for preparing clear aqueous solutions containing bile acids which do not form precipitates over selected ranges of pH values of the aqueous solution and methods of making such solutions. The compositions of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and a high molecular weight aqueous soluble starch conversion product. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for all pH values obtainable in an aqueous system. The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount.

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:581685 CAPLUS
DOCUMENT NUMBER: 135:157683
TITLE: Preparation of aqueous clear solution dosage forms with bile acids
INVENTOR(S): Yoo, Seo Hong
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 82 pp. CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2001056547 | A2 | 20010809 | WO 2001-US3745 | 20010205 |
| WO 2001056547 | A3 | 20020718 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,

LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
 YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 CA 2406930 A1 20010809 CA 2001-2406930 20010205
 AU 200136685 A 20010814 AU 2001-36685 20010205
 EP 1255566 A2 20021113 EP 2001-908862 20010205
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004500378 T 20040108 JP 2001-556239 20010205
 BR 2001008080 A 20060207 BR 2001-8080 20010205
 RU 2277913 C2 20060620 RU 2002-123352 20010205
 IN 2002DN00865 A 20050121 IN 2002-DN865 20020902
 IN 2002DN00873 A 20050121 IN 2002-DN873 20020904
 AU 2004325203 A1 20060601 AU 2004-325203 20041124
 AU 2006203315 A1 20060824 AU 2006-203315 20060803
 PRIORITY APPLN. INFO.: US 2000-180268P P 20000204
 AU 2001-36685 A3 20010205
 WO 2001-US3745 W 20010205
 WO 2004-US39507 A 20041124

AB Compns. for pharmaceutical and other uses comprising clear aqueous solns. of
 bile acids which do not form any detectable ppts. over selected ranges of
 pH values of the aqueous solution and methods of making such solns. The
 compns.
 of the invention comprise water; a bile acid in the form of a bile acid,
 bile acid salt, or a bile acid conjugated with an amine by an amide
 linkage; and either or both an aqueous soluble starch conversion product and a
 aqueous soluble non-starch polysaccharide. The composition remains in
 solution without
 forming a precipitate over a range of pH values and, according to one
 embodiment,
 remains in solution for all pH values obtainable in an aqueous system. The
 composition, according to some embodiments, may further contain a
 pharmaceutical compound in a pharmaceutically effective amount Non-limiting
 examples of pharmaceutical compds. include insulin, heparin, bismuth
 compds., amantadine and rimantadine. A syrup composition contained
 ursodeoxycholic acid 20 g, 1N NaOH 60 mL, corn syrup solid 1050 g, Bi
 citrate 4g, citric acid or lactic acid q.s. and purified water to 1L.

L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2000:84582 CAPLUS
 DOCUMENT NUMBER: 132:141949
 TITLE: Preparation of aqueous clear solution dosage forms
 with bile acids
 INVENTOR(S): Yoo, Seo Hong
 PATENT ASSIGNEE(S): USA
 SOURCE: PCT Int. Appl., 45 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|---|----------|-----------------|----------|
| WO 2000004875 | A2 | 20000203 | WO 1999-US12840 | 19990720 |
| WO 2000004875 | A3 | 20010503 | | |
| W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, | | | |
| | DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, | | | |
| | JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, | | | |
| | MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, | | | |

TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|------------|----|----------|-----------------|----------|
| CA 2338457 | A1 | 20000203 | CA 1999-2338457 | 19990720 |
| AU 9950819 | A | 20000214 | AU 1999-50819 | 19990720 |
| AU 758679 | B2 | 20030327 | | |
| EP 1113785 | A2 | 20010711 | EP 1999-935313 | 19990720 |
| EP 1113785 | B1 | 20050413 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

| | | | | |
|----------------|----|----------|-----------------|----------|
| BR 9912395 | A | 20011016 | BR 1999-12395 | 19990720 |
| JP 2002522357 | T | 20020723 | JP 2000-560868 | 19990720 |
| RU 2224523 | C2 | 20040227 | RU 2001-105906 | 19990720 |
| AT 292956 | T | 20050415 | AT 1999-935313 | 19990720 |
| ES 2238843 | T3 | 20050901 | ES 1999-935313 | 19990720 |
| IN 2001DN00033 | A | 20050311 | IN 2001-DN33 | 20010116 |
| AU 2004325203 | A1 | 20060601 | AU 2004-325203 | 20041124 |
| WO 2006057637 | A1 | 20060601 | WO 2004-US39507 | 20041124 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG,
CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE,
LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ,
MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 1998-94069P P 19980724
WO 1999-US12840 W 19990720
WO 2004-US39507 A 20041124

AB Compns. for pharmaceutical and other uses for preparing clear aqueous solns.
containing bile acids which do not form ppts. over selected ranges of pH
values of the aqueous solution and methods of making such solns. are disclosed.
The compns. of the invention comprise water; a bile acid in the form of a
bile acid, bile acid salt, or a bile acid conjugated with an amine by an
amide linkage; and a high mol. weight aqueous soluble starch conversion
product.
The composition remains in solution without forming a precipitate over a range
of pH
values and, according to one embodiment, remains in solution all pH values
obtainable in an aqueous system. The composition, according to some
embodiments,
may further contain a pharmaceutical compound in a pharmaceutically
effective amount A pharmaceutical solution which did not show any
precipitation at any
pH contained 3 α -7 β -dihydroxy-5 β -cholanic acid 200 mg,
maltodextrin 5, preservatives q.s., flavoring agent q.s., sweetener q.s.,
and water q.s. 100 mL.

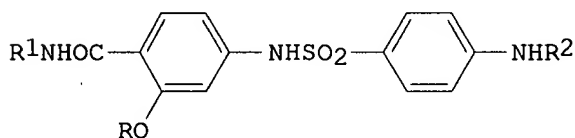
L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:262326 CAPLUS
DOCUMENT NUMBER: 126:238299
TITLE: Preparation and purification of Form I and Form II of
ranitidine hydrochloride
INVENTOR(S): Yoo, Seo Hong
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9707112 | A1 | 19970227 | WO 1996-US13246 | 19960816 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA | | | | |
| US 5686588 | A | 19971111 | US 1995-515790 | 19950816 |
| CA 2227264 | A1 | 19970227 | CA 1996-2227264 | 19960816 |
| CA 2227264 | C | 20021022 | | |
| AU 9667255 | A | 19970312 | AU 1996-67255 | 19960816 |
| AU 713507 | B2 | 19991202 | | |
| EP 859768 | A1 | 19980826 | EP 1996-927432 | 19960816 |
| EP 859768 | B1 | 20030108 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI | | | | |
| CN 1198744 | A | 19981111 | CN 1996-197336 | 19960816 |
| BR 9610288 | A | 19990727 | BR 1996-10288 | 19960816 |
| JP 11508601 | T | 19990727 | JP 1996-509483 | 19960816 |
| AT 230737 | T | 20030115 | AT 1996-927432 | 19960816 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 1995-515790 | A 19950816 |
| | | | WO 1996-US13246 | W 19960816 |

AB A stoichiometric acid moiety transfer reaction for the preparation of an acid salt of an amine compound such as ranitidine is described. The acid moiety transfer reaction provides amine acid salts of high purity and having crystalline structure of uniform size and shape. Thus, treatment of ranitidine free base in a mixture of industrial methylated spirits and EtOAc with 2,5-dimethylpyridine.HCl afforded Form I ranitidine hydrochloride which was free from contamination from Form II.

L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:15355 CAPLUS
DOCUMENT NUMBER: 94:15355
TITLE: Studies on the synthesis and antibacterial activity of PAS-sulfonamide derivatives
AUTHOR(S): Lee, Nam Soon; Lim, Jung Gi; Weon, Jeong Hee; Yoo, Seo Hong
CORPORATE SOURCE: Coll. Pharm., Sung Kyung Kwan Univ., Seoul, 110, S. Korea
SOURCE: Yakhak Hoechi (1979), 23(3-4), 159-66
CODEN: YAHOA3; ISSN: 0513-4234
DOCUMENT TYPE: Journal
LANGUAGE: Korean
GI



I

AB Sulfonamides I (R = Me, Et hereafter; R1 = H, Me, Et; R2 = H, Ac) were

prepared Amidation of p-ClSO₂C₆H₄NHAc (II) with 3,4-RO(H₂NCO)C₆H₃NH₂ gave I (R₁ = H, R₂ = Ac), which were deacetylated to give I (R₁ = H, R₂ = H). Amidation of II with 3,4-RO(AcNHCO)C₆H₃NH₂ gave I (R₁ = Me, Et; R₂ = Ac), which were deacetylated to give I (R₁ = Me, Et; R₂ = H). I showed bactericidal activity against M. tuberculosis and other bacteria.

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

263.66

263.87

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-11.70

-11.70

FILE 'STNGUIDE' ENTERED AT 10:20:32 ON 19 JUL 2007

USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Jul 13, 2007 (20070713/UP).

=> d his

(FILE 'HOME' ENTERED AT 10:00:29 ON 19 JUL 2007)

FILE 'MEDLINE, BIOSIS, CAPLUS, SCISEARCH, EMBASE, WPIDS' ENTERED AT 10:01:03 ON 19 JUL 2007

L1 48 BILE (S) (DEXTRIN OR ?DEXTRIN OR DEXTRAN) (S) (SOLUBLE OR SOLUB
L2 35 DUP REM L1 (13 DUPLICATES REMOVED)
E YOO SEO?/AU
L3 15 E1
L4 15 DUP REM L3 (0 DUPLICATES REMOVED)
L5 35 L2 NOT L3

FILE 'STNGUIDE' ENTERED AT 10:20:32 ON 19 JUL 2007

=> logoff hold

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.30

264.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-11.70

SESSION WILL BE HELD FOR 120 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 10:23:41 ON 19 JUL 2007